

10/509,335

PTO 08-2022

CC = JP
19980811
Kokai
10212271

N-SUBSTITUTED BENZOYLAMINE DERIVATIVES, PHARMACEUTICALS CONTAINING
THESE AND INTERMEDIATES FOR PRODUCING SAID COMPOUNDS
[N-chikan benzoylamine yudo'tai gan'yu-ryo I'yaku Kagobutsu seizo chukan'tai]

Masaaki Nagasawa et al.

UNITED STATES PATENT AND TRADEMARK OFFICE
WASHINGTON, D.C. FEBRUARY 2008
TRANSLATED BY: THE MCELROY TRANSLATION COMPANY

PUBLICATION COUNTRY	(19):	JP
DOCUMENT NUMBER	(11):	10212271
DOCUMENT KIND	(12):	Kokai
PUBLICATION DATE	(43):	19980811
APPLICATION NUMBER	(21):	931371
APPLICATION DATE	(22):	19970131
INTERNATIONAL CLASSIFICATION ⁶	(51):	C 07 C 237/42
		A 61 K 31/165
		31/34
		31/38
		31/42
		A 61 K 31/425
		C 07 D 213/81
		263/48
		277/56
		307/54
		333/38
		417/12
INVENTORS	(72):	Masaaki Nagasawa et al.
APPLICANT	(71):	Zeria Pharmaceutical Co., Ltd.
TITLE	(54):	N-SUBSTITUTED BENZOYLAMINE DERIVATIVES, PHARMACEUTICALS CONTAINING THESE AND

INTERMEDIATES FOR PRODUCING SAID
COMPOUNDS

FOREIGN TITLE

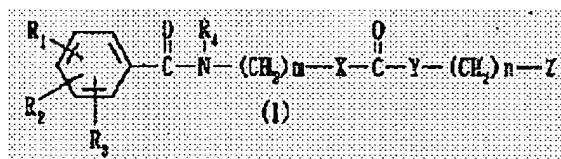
[54A]: [N-chikan benzoylamine yudo'tai gan'yu-ryo
I'yaku Kagobutsu seizo chukan'tai]

Claims

/2*

1. N-substituted benzoylamine derivatives represented by General Formula (I)

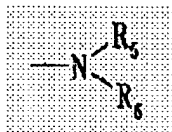
[Structure 1]



[wherein, R_1 , R_2 and R_3 are the same or different and indicate hydrogen atoms, hydroxy groups, lower alkyl groups, halogen-substituted lower alkyl groups, lower alkoxy groups, lower alkoxycarbonyl groups, lower alkylcarbonyl groups or lower alkylsulfonyl groups that may be substituted with halogen atoms, lower alkylcarbonyloxy groups, halogen atoms, nitro groups, amino groups, cyano groups, mono- or di-substituted alkylamino groups, mono- or di-substituted alkylcarbonylamino groups, mono- or di-substituted alkoxycarbonylamino groups, lower alkylsulfonylamino groups, formylamino groups, mono- or di-substituted alkylamino alkylamino groups, 1-ureido groups or 2-pyrrolylimino groups, or R_1 and R_2 can join to form a methylenedioxy group; R_4 indicates a hydrogen atom or lower alkyl group; X indicates a furyl group, thienyl group, thiazolyl group, isothiazolyl group, oxazolyl group, isoxazolyl group, phenyl group or pyridyl group that may be substituted with halogen atoms or lower alkyl groups; m indicates an integer 0 – 2 (provided that when X is a thiazolyl group that may be substituted with a halogen atom or lower alkyl group, m indicates an integer 1 or 2); Y indicates an imino group that may be substituted with a lower alkyl group, or an oxygen atom; n indicates an integer 2 – 4; Z is a group given by the following formula

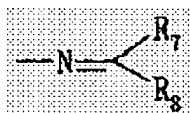
* [Numbers in the margins indicate pagination of the original document.]

[Structure 2]



(wherein, R₅ and R₆ are the same or different and indicate hydrogen atoms, lower alkyl groups, lower alkoxy groups, hydroxy lower alkyl groups, hydroxycarbonyl lower alkyl groups, lower alkoxycarbonylalkyl groups, or lower alkoxyalkyl groups) or groups given by the following formula

[Structure 3]



(wherein R₇ and R₈ are the same or different and indicate hydrogen atoms, lower alkyl groups, lower alkoxy groups, hydroxy lower alkyl groups or hydroxycarbonyl lower alkyl groups, or R₇ and R₈ can join to form a nitrogen-containing saturated or unsaturated heterocyclic ring group)], and their salts.

2. N-substituted benzylamine derivatives described as in Claim 1 wherein R₁, R₂ and R₃ are the same or different and are hydrogen atoms, halogen atoms, hydroxy groups, lower alkyl groups, lower alkoxy groups, nitro groups, amino groups or cyano groups, and their salts.

3. N-substituted benzylamine derivatives described as in Claim 1 wherein R₁, R₂ and R₃ are the same or different and are hydrogen atoms, halogen atoms, hydroxy groups, lower alkyl groups, lower alkoxy groups, nitro groups, amino groups or cyano groups, and R₅ and R₆ are the same or different and are hydrogen atoms, lower alkyl groups or lower alkoxy groups, and their salts.

4. N-substituted benzoylamine derivatives described as in Claim 1 wherein R_1 , R_2 and R_3 are the same or different and are hydrogen atoms, halogen atoms, hydroxy groups, lower alkyl groups, lower alkoxy groups, nitro groups, amino groups or cyano groups, and Z is an imidazolinylimino group, and their salts.

5. Pharmaceuticals containing N-substituted benzoylamine derivatives or their salts that are described in any of Claims 1 – 4 as active ingredients.

6. Agents for preventing / treating peristalsis problems that contain N-substituted benzoylamine derivatives or their salts that are described in any of Claims 1 – 4 as active ingredients.

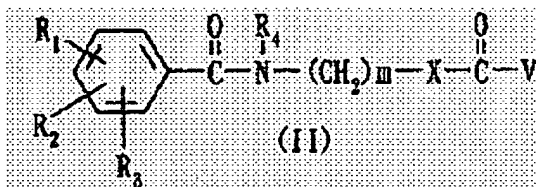
7. Agents for preventing / treating epigastric instability, nausea, vomiting, heartburn, loss of appetite, abdominal pain, feeling of abdominal bloating, chronic gastritis, reflux esophagitis, or post-gastrectomy syndrome that contain N-substituted benzoylamine derivatives or their salts that are described in any of Claims 1 – 4 as active ingredients.

8. Pharmaceutical compositions containing N-substituted benzoylamine derivatives or their salts that are described in any of Claims 1 – 4 and pharmaceutical carriers.

9. Pharmaceutical compositions described as in Claim 8 that are agents for preventing / treating peristalsis problems.

10. Substituted benzamide derivatives represented by General Formula (II)

[Structure 4]



[wherein, R_1 , R_2 and R_3 are the same or different and indicate hydrogen atoms, hydroxy groups, lower

/3

alkyl groups, halogen-substituted lower alkyl groups, lower alkoxy groups, lower alkoxy carbonyl groups, lower alkyl carbonyl groups or lower alkyl sulfonyl groups that may be substituted with halogen atoms, lower alkyl carbonyloxy groups, halogen atoms, nitro groups, amino groups, cyano groups, mono- or di-substituted alkylamino groups, mono- or di-substituted alkyl carbonylamino groups, mono- or di-substituted alkoxy carbonylamino groups, lower alkyl sulfonylamino groups, formylamino groups, mono- or di-substituted alkylaminoalkylamino groups, 1-ureido groups or 2-pyrrolylimino groups, or R₁ and R₂ can join to form a methylenedioxy group; R₄ indicates a hydrogen atom or lower alkyl group; X indicates a furyl group, thienyl group, thiazolyl group, isothiazolyl group, oxazolyl group, isoxazolyl group, phenyl group or pyridyl group that may be substituted with halogen atoms or lower alkyl groups; m indicates an integer 0 – 2 (provided that when X is a thiazolyl group that may be substituted with a halogen atom or lower alkyl group, m indicates an integer 1 or 2); and V indicates a halogen atom, hydroxy group or lower alkoxy group], and their salts.

Detailed explanation of the invention

[0001]

Technical field to which the invention belongs

The present invention pertains to novel N-substituted benzoylamine derivatives having peristalsis-improving effects, pharmaceuticals that contain them and intermediates for producing said compounds.

[0002]

Prior art

In the past, anti-dopamine drugs such as domperidone and metoclopramide, opiate agonists such as trimebutine maleate, 5HT₃-antagonist / 5HT₄ agonists such as cisapride, and acetylcholine agonists such as acetylcholine chloride have been used clinically as agents for treating peristalsis problems. In addition to these, investigations of many peristalsis-improving agents for treating peristalsis problems have been made (Japanese Kokai Patent Application No. Hei 1 [1989]-313424, Japanese Kokai Patent Application No. Hei 3 [1991]-163074, and Japanese Kokai Patent Application No. Hei 4 [1992]-279581).

Problems to be solved by the invention

However, none have completely adequate peristalsis-improving effects. And because there is a concern of adverse effects arising from the various mechanisms of action above, even drugs having adequate effects are not completely satisfactory. Consequently, the development of drugs with superior peristalsis-improving effects and for which adverse effects are reduced was desired.

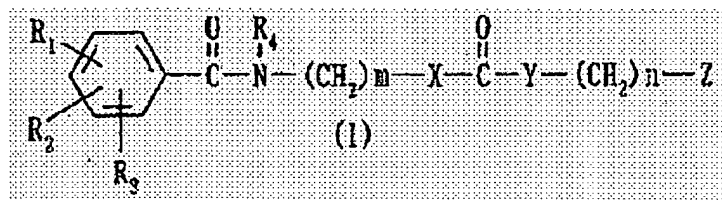
[0003]

Means to solve the problems

Upon diligent research in view of such circumstances, the inventors discovered that certain benzoylamine derivatives have superior peristalsis-improving effects and reduced adverse effects, and completed the present invention. That is, the present invention pertains to N-substituted benzoylamine derivatives represented by the following General Formula (I)

[0004]

[Structure 5]

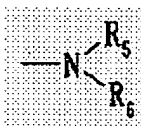


[0005]

[wherein, R_1 , R_2 and R_3 are the same or different and indicate hydrogen atoms, hydroxy groups, lower alkyl groups, halogen-substituted lower alkyl groups, lower alkoxy groups, lower alkoxy carbonyl groups, lower alkyl carbonyl groups or lower alkylsulfonyl groups that may be substituted with halogen atoms, lower alkyl carbonyloxy groups, halogen atoms, nitro groups, amino groups, cyano groups, mono- or di-substituted alkylamino groups, mono- or di-substituted alkyl carbonylamino groups, mono- or di-substituted alkoxy carbonylamino groups, lower alkylsulfonylamino groups, formylamino groups, mono- or di-substituted lower alkylaminoalkylamino groups, 1-ureido groups or 2-pyrrolylimino groups, or R_1 and R_2 can join to form a methylenedioxy group; R_4 indicates a hydrogen atom or lower alkyl group; X indicates a furyl group, thienyl group, thiazolyl group, isothiazolyl group, oxazolyl group, isoxazolyl group, phenyl group or pyridyl group that may be substituted with halogen atoms or lower alkyl groups; m indicates an integer 0 – 2 (provided that when X is a thiazolyl group that may be substituted with a halogen atom or lower alkyl group, m indicates an integer 1 or 2); Y indicates an imino group that may be substituted with a lower alkyl group, or an oxygen atom; n indicates an integer 2 – 4; Z is a group given by the following formula

[0006]

[Structure 6]

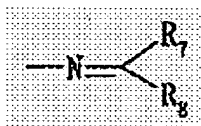


[0007]

(wherein, R_5 and R_6 are the same or different and indicate hydrogen atoms, lower alkyl groups, lower alkoxy groups, hydroxy lower alkyl groups, hydroxycarbonyl lower alkyl groups, lower alkoxycarbonylalkyl groups, or lower alkoxyalkyl groups) or groups given by the following formula

[0008]

[Structure 7]



/4

[0009]

(wherein R_7 and R_8 are the same or different and indicate hydrogen atoms, lower alkyl groups, lower alkoxy groups, hydroxy lower alkyl groups or hydroxycarbonyl lower alkyl groups, or R_7 and R_8 can join to form a nitrogen-containing saturated or unsaturated heterocyclic ring group)], and their salts.

[0010]

The present invention also pertains to pharmaceuticals that contain the above N-substituted benzoylamine derivatives (I) or their salts as active ingredients.

[0011]

The present invention also pertains to agents for preventing / treating epigastric instability, nausea, vomiting, heartburn, loss of appetite, abdominal pain, feeling of abdominal bloating, chronic gastritis, reflux esophagitis, or post-gastrectomy syndrome containing the above N-substituted benzoylamine derivatives (I) or their salts as active ingredients.

[0012]

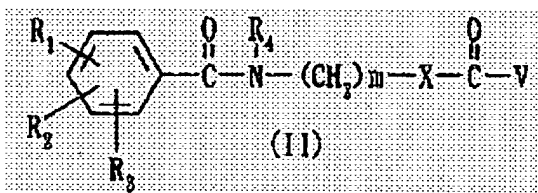
The present invention also pertains to pharmaceutical compositions containing the above N-substituted benzoylamine derivatives or their salts and pharmaceutical carriers.

[0013]

The present invention also pertains to substituted benzamide derivatives represented by the following General Formula (II)

[0014]

[Structure 8]



[0015]

[wherein, R_1 , R_2 , R_3 , R_4 , X and m have the same definitions as above and V indicates a halogen atom, hydroxy group or lower alkoxy group) and their salts that are useful as production intermediates for the above N -substituted benzoylamine derivatives (I).

[0016]

In the present invention, 'lower' means C_{1-6} straight, branched or cyclic carbon chains. Therefore, 'lower alkyl groups' are C_{1-6} straight chain, branched or cyclic alkyl groups (sometimes called ' C_{1-6} alkyls' below). For example, methyl groups, ethyl groups, propyl groups, isopropyl groups, cyclopropyl groups, butyl groups, isobutyl groups, sec-butyl groups, tert-butyl groups, cyclobutyl groups, pentyl groups, 1-methylbutyl groups, 2-methylbutyl groups, isopentyl groups, tert-pentyl groups, 1,2-dimethylpropyl groups, neopentyl groups, 1-ethylpropyl groups, cyclopentyl groups, hexyl groups, 1-methylpentyl groups, 2-methylpentyl groups, 3-methylpentyl groups, isohexyl groups, 1-ethylbutyl groups, 2-ethylbutyl groups, 1,1-dimethylbutyl groups, 1,2-dimethylbutyl groups, 1,3-dimethylbutyl groups, 2,2-dimethylbutyl groups, 2,3-dimethylbutyl groups, 3,3-dimethylbutyl groups, 1-methyl-1-ethylpropyl groups, 1-ethyl-2-methylpropyl groups, 1,1,2-trimethylpropyl groups, 1,2,2-trimethylpropyl groups, cyclohexyl groups, etc. can be cited. Of these, more preferable lower alkyl groups are C_{1-4} straight or branched chain alkyl groups.

[0017]

And 'lower alkoxy groups' are C_{1-6} straight chain, branched or cyclic alkoxy groups (sometimes called ' C_{1-6} alkoxys' below). For example, methoxy groups, ethoxy groups, propoxy groups, cyclopropoxy groups, isopropoxy groups, butoxy groups, isobutoxy groups, sec-butoxy groups, tert-butoxy groups,

cyclobutoxy groups, pentyloxy groups, 1-methylbutoxy groups, 2-methylbutoxy groups, isopentyloxy groups, tert-pentyloxy groups, 1,2-dimethylpropoxy groups, neopentyloxy groups, 1-ethylpropoxy groups, cyclopentyloxy groups, hexyloxy groups, 1-methylpentyloxy groups, 2-methylpentyloxy groups, 3-methylpentyloxy groups, isohexyloxy groups, 1-ethylbutoxy groups, 2-ethylbutoxy groups, 1,1-dimethylbutoxy groups, 1,2-dimethylbutoxy groups, 1,3-dimethylbutoxy groups, 2,2-dimethylbutoxy groups, 2,3-dimethylbutoxy groups, 3,3-dimethylbutoxy groups, 1-methyl-1-ethylpropoxy groups, 1-ethyl-2-methylpropoxy groups, 1,1,2-trimethylpropoxy groups, 1,2,2-trimethylpropoxy groups, cyclohexyloxy groups, etc. can be cited. Of these, more preferable lower alkoxy groups are C₁₋₄ straight or branched chain alkoxy groups.

[0018]

In the present invention, 'halogen atoms' refer to fluorine, chlorine, bromine or iodine.

[0019]

'Halogen-substituted lower alkyl groups' refer to lower alkyl groups substituted with 1 or more of the above 'halogen atoms.' For example, fluoromethyl groups, difluoromethyl groups, trifluoromethyl groups, chloromethyl groups, dichloromethyl groups, trichloromethyl groups, bromomethyl groups, dibromomethyl groups, tribromomethyl groups, iodomethyl groups, diiodomethyl groups, triiodomethyl groups, 1-fluoroethyl groups, 2-fluoroethyl groups, 1,1-difluoroethyl groups, 1,2-difluoroethyl groups, 2,2-difluoroethyl groups, 1,1,2-trifluoroethyl groups, 1,2,2-trifluoroethyl groups, 2,2,2-trifluoroethyl groups, 1,1,2,2-tetrafluoroethyl groups, 1,2,2,2-tetrafluoroethyl groups, pentafluoroethyl groups, 1-chloroethyl groups, 2-chloroethyl groups, 1,1-dichloroethyl groups, 1,2-dichloroethyl groups, 2,2-dichloroethyl groups, 1,1,2-trichloroethyl groups, 1,2,2-trichloroethyl groups, 2,2,2-trichloroethyl

/5

groups, 1,1,2,2-tetrachloroethyl groups, 1,2,2,2-tetrachloroethyl groups, pentachloroethyl groups, 1-bromoethyl groups, 2-bromoethyl groups, 1,1-dibromoethyl groups, 1,2-dibromoethyl groups, 2,2-dibromoethyl groups, 1,1,2-tribromoethyl groups, 1,2,2-tribromoethyl groups, 2,2,2-tribromoethyl groups, 1,1,2,2-tetrabromoethyl groups, 1,2,2,2-tetrabromoethyl groups, pentabromoethyl groups, 1-iodoethyl groups, 2-iodoethyl groups, 1,1-diiodoethyl groups, 1,2-diiodoethyl groups, 2,2-diiodoethyl groups, 1,1,2-triiodoethyl groups, 1,2,2-triiodoethyl groups, 2,2,2-triiodoethyl groups, 1,1,2,2-tetraiodoethyl groups, 1,2,2,2-tetraiodoethyl groups, pentaiodoethyl groups, 1-fluoropropyl groups, 2-fluoropropyl groups, 3-fluoropropyl groups, 2,3-difluoropropyl groups, 3,3,3-trifluoropropyl groups, 2,2,3,3,3-pentafluoropropyl groups, heptafluoropropyl groups, 1-chloropropyl groups, 2-chloropropyl groups, 3-chloropropyl groups, 2,3-dichloropropyl groups, 3,3,3-trichloropropyl groups, 2,2,3,3,3-pentachloropropyl groups, heptachloropropyl groups, 1-bromopropyl groups, 2-bromopropyl groups, 3-bromopropyl groups, 2,3-dibromopropyl groups, 1-iodopropyl groups, 2-iodopropyl groups, 3-iodopropyl groups, 2,3-diiodopropyl groups, etc. can be cited. Of these, trifluoromethyl groups, trichloromethyl groups, 2,2,2-trifluoroethyl groups and 2,2,2-trichloroethyl groups are particularly favorable.

[0020]

'Hydroxy lower alkyl groups' refer to lower alkyl groups substituted with 1 hydroxy group. For example, hydroxymethyl groups, 1-hydroxyethyl groups, 2-hydroxyethyl groups, 1-hydroxypropyl groups, 2-hydroxypropyl groups, 3-hydroxypropyl groups, 1-hydroxy-2-methylethyl groups, 1-hydroxycyclopropyl groups, 2-hydroxycyclopropyl groups, 1-hydroxybutyl groups, 2-hydroxybutyl groups, 3-hydroxybutyl groups, 4-hydroxybutyl groups, 2-hydroxy-2-methylpropyl groups, 1-hydroxy-2,2-dimethylethyl groups, 1-hydroxy-1,2-dimethylethyl groups, 1-hydroxypentyl groups,

2-hydroxypentyl groups, 3-hydroxypentyl groups, 4-hydroxypentyl groups, 5-hydroxypentyl groups, 2-hydroxy-2-methylbutyl groups, 3-hydroxy-2-methylbutyl groups, 4-hydroxy-2-methylbutyl groups, 2-hydroxy-3-methylbutyl groups, 3-hydroxy-3-methylbutyl groups, 4-hydroxy-3-methylbutyl groups, 2-hydroxy-4-methylbutyl groups, 3-hydroxy-4-methylbutyl groups, 4-hydroxy-4-methylbutyl groups, 1-hydroxycyclopentyl groups, 2-hydroxycyclopentyl groups, 3-hydroxycyclopentyl groups, 1-hydroxyhexyl groups, 2-hydroxyhexyl groups, 3-hydroxyhexyl groups, 4-hydroxyhexyl groups, 5-hydroxyhexyl groups, 6-hydroxyhexyl groups, 2-hydroxy-2-methylpentyl groups, 2-hydroxy-3-methylpentyl groups, 2-hydroxy-4-methylpentyl groups, 2-hydroxy-5-methylpentyl groups, 3-hydroxy-2-methylpentyl groups, 3-hydroxy-3-methylpentyl groups, 3-hydroxy-4-methylpentyl groups, 3-hydroxy-5-methylpentyl groups, 4-hydroxy-2-methylpentyl groups, 4-hydroxy-3-methylpentyl groups, 4-hydroxy-4-methylpentyl groups, 4-hydroxy-5-methylpentyl groups, 5-hydroxy-2-methylpentyl groups, 5-hydroxy-3-methylpentyl groups, 5-hydroxy-4-methylpentyl groups, 5-hydroxy-5-methylpentyl groups, 1-hydroxycyclohexyl groups, 2-hydroxycyclohexyl groups, 3-hydroxycyclohexyl groups, 4-hydroxycyclohexyl groups, etc. can be cited. Of these, hydroxy-C₁₋₄ alkyl groups are particularly favorable.

[0021]

'Hydroxycarbonyl lower alkyl groups' refer to lower alkyl groups substituted with 1 hydroxycarbonyl group. For example, hydroxycarbonylmethyl groups, 1-hydroxycarbonylethyl groups, 2-hydroxycarbonylethyl groups, 1-hydroxycarbonylpropyl groups, 2-hydroxycarbonylpropyl groups, 3-hydroxycarbonylpropyl groups, 1-hydroxycarbonyl-2-methylethyl groups, 1-hydroxycarbonylcyclopropyl groups, 2-hydroxycarbonylcyclopropyl groups, 1-hydroxycarbonylbutyl groups, 2-hydroxycarbonylbutyl groups, 3-hydroxycarbonylbutyl groups, 4-hydroxycarbonylbutyl

groups, 2-hydroxycarbonyl-2-methylpropyl groups, 1-hydroxycarbonyl-2,2-dimethylethyl groups, 1-hydroxycarbonyl-1,2-dimethylethyl groups, 1-hydroxycarbonylpentyl groups, 2-hydroxycarbonylpentyl groups, 3-hydroxycarbonylpentyl groups, 4-hydroxycarbonylpentyl groups, 5-hydroxycarbonylpentyl groups, 2-hydroxycarbonyl-2-methylbutyl groups, 3-hydroxycarbonyl-2-methylbutyl groups, 4-hydroxycarbonyl-2-methylbutyl groups, 2-hydroxycarbonyl-3-methylbutyl groups, 3-hydroxycarbonyl-3-methylbutyl groups, 4-hydroxycarbonyl-3-methylbutyl groups, 2-hydroxycarbonyl-4-methylbutyl groups, 3-hydroxycarbonyl-4-methylbutyl groups, 4-hydroxycarbonyl-4-methylbutyl groups, 1-hydroxycarbonylcyclopentyl groups, 2-hydroxycarbonylcyclopentyl groups, 3-hydroxycarbonylcyclopentyl groups, 1-hydroxycarbonylhexyl groups, 2-hydroxycarbonylhexyl groups, 3-hydroxycarbonylhexyl groups, 4-hydroxycarbonylhexyl groups, 5-hydroxycarbonylhexyl groups, 6-hydroxycarbonylhexyl groups, 2-hydroxycarbonyl-2-methylpentyl groups, 2-hydroxycarbonyl-3-methylpentyl groups, 2-hydroxycarbonyl-4-methylpentyl groups, 2-hydroxycarbonyl-5-methylpentyl groups, 3-hydroxycarbonyl-2-methylpentyl groups, 3-hydroxycarbonyl-3-methylpentyl groups, 3-hydroxycarbonyl-4-methylpentyl groups, 3-hydroxycarbonyl-5-methylpentyl groups, 4-hydroxycarbonyl-2-methylpentyl groups, 4-hydroxycarbonyl-3-methylpentyl groups, 4-hydroxycarbonyl-4-methylpentyl groups, 4-hydroxycarbonyl-5-methylpentyl groups, 5-hydroxycarbonyl-2-methylpentyl groups, 5-hydroxycarbonyl-3-methylpentyl groups, 5-hydroxycarbonyl-4-methylpentyl groups, 5-hydroxycarbonyl-5-methylpentyl groups, 1-hydroxycarbonylcyclohexyl groups, 2-hydroxycarbonylcyclohexyl groups, 3-hydroxycarbonylcyclohexyl groups, 4-hydroxycarbonylcyclohexyl groups, etc. can be cited. Of these hydroxycarbonyl-C₁₋₄ alkyl groups are particularly favorable.

/6

[0022]

‘Lower alkylcarbonyl groups’ refer to C_{2-7} straight chain, branched or cyclic alkylcarbonyl groups.

‘Lower alkylcarbonyloxy groups’ refer to C_{2-7} straight chain, branched or cyclic alkylcarbonyloxy groups.

‘Lower alkylsulfonyl groups’ refer to C_{1-6} straight chain, branched or cyclic alkylsulfonyl groups. For the lower alkyl portions in the lower alkylcarbonyl groups, lower alkylcarbonyloxy groups and lower alkylsulfonyl groups here, the same ones as for ‘lower alkyl groups’ above can be cited. Of these, acetyl groups, propionyl groups, butyryl groups, and valeryl groups can be cited as favorable alkylcarbonyl groups. Acetoxy groups, propionyloxy groups, butyryloxy groups, valeryloxy groups, etc. can be cited as favorable alkylcarbonyloxy groups. Methylsulfonyl groups, ethylsulfonyl groups, propylsulfonyl groups, isopropylsulfonyl groups, butylsulfonyl groups, isobutylsulfonyl groups, sec-butylsulfonyl groups, and tert-butylsulfonyl groups can be cited as favorable alkylsulfonyl groups.

[0023]

‘Mono- or di-substituted lower alkylamino groups’ refer to amino groups substituted with 1 or 2 C_{1-6} straight chain, branched or cyclic alkyl groups. For example, methylamino groups, ethylamino groups, propylamino groups, isopropylamino groups, cyclopropylamino groups, butylamino groups, isobutylamino groups, sec-butylamino groups, tert-butylamino groups, cyclobutylamino groups, pentylamino groups, 1-methylbutylamino groups, 2-methylbutylamino groups, isopentylamino groups, tert-pentylamino groups, 1,2-dimethylpropylamino groups, neopentylamino groups, 1-ethylpropylamino groups, cyclopentylamino groups, hexylamino groups, 1-methylpentylamino groups, 2-methylpentylamino groups, 3-methylpentylamino groups, isohexylamino groups, 1-ethylbutylamino groups, 2-ethylbutylamino groups, 1,1-dimethylbutylamino groups, 1,2-dimethylbutylamino groups, 1,3-dimethylbutylamino groups, 2,2-dimethylbutylamino groups, 2,3-dimethylbutylamino groups,

3,3-dimethylbutylamino groups, 1-methyl-1-ethylpropylamino groups, 1-ethyl-2-methylpropylamino groups, 1,1,2-trimethylpropylamino groups, 1,2,2-trimethylpropylamino groups, cyclohexylamino groups, dimethylamino groups, diethylamino groups, dipropylamino groups, diisopropylamino groups, dibutylamino groups, diisobutylamino groups, methylethylamino groups, methylpropylamino groups, methylisopropylamino groups, methylbutylamino groups, methylisobutylamino groups, methyl-sec-butylamino groups, methyl-tert-butylamino groups, methylcyclobutylamino groups, methylpentylamino groups, methyl(1-methyl)butylamino groups, methyl(2-methyl)butylamino groups, methylisopentylamino groups, methyl-tert-pentylamino groups, methyl(1,2-dimethyl)propylamino groups, methylneopentylamino groups, methyl(1-ethyl)propylamino groups, methylcyclopentylamino groups, methylhexylamino groups, methyl(1-methyl)pentylamino groups, methyl(2-methyl)pentylamino groups, methyl(3-methyl)pentylamino groups, methylisohexylamino groups, methyl(1-ethyl)butylamino groups, methyl(2-ethyl)butylamino groups, methyl(1,1-dimethyl)butylamino groups, methyl(1,2-dimethylbutyl)amino groups, methyl(1,3-dimethyl)butylamino groups, methyl(2,2-dimethyl)butylamino groups, methyl(2,3-dimethyl)butylamino groups, methyl(3,3-dimethyl)butylamino groups, methyl(1-methyl-1-ethyl)propylamino groups, methyl(1-ethyl-2-methyl)propylamino groups, methyl(1,1,2-trimethyl)propylamino groups, methyl(1,2,2-trimethyl)propylamino groups, methylcyclohexylamino groups,

[0024]

ethylpropylamino groups, ethylisopropylamino groups, ethylbutylamino groups, ethylisobutyl amino groups, ethyl-sec-butylamino groups, ethyl-tert-butylamino groups, ethylcyclobutylamino groups, ethylpentylamino groups, ethyl(1-methyl)butylamino groups, ethyl(2-methyl)butylamino groups, ethylisopentylamino groups, ethyl-tert-pentylamino groups, ethyl(1,2-dimethyl)propylamino groups,

/7

ethylneopentylamino groups, ethyl(1-ethyl)propylamino groups, ethylcyclopentylamino groups, ethylhexylamino groups, ethyl(1-methyl)pentylamino groups, ethyl(2-methyl)pentylamino groups, ethyl(3-methyl)pentylamino groups, ethylisohexylamino groups, ethyl(1-ethyl)butylamino groups, ethyl(2-ethyl)butylamino groups, ethyl(1,1,-dimethyl)butylamino groups, ethyl(1,2-dimethyl)butylamino groups, ethyl(1,3-dimethyl)butylamino groups, ethyl(2,2-dimethyl)butylamino groups, ethyl(2,3-dimethyl)butylamino groups, ethyl(3,3-dimethyl)butylamino groups, ethyl(1-methyl-1-ethyl)propylamino groups, ethyl(1-ethyl-2-methyl)propylamino groups, ethyl(1,1,2-trimethyl)propylamino groups, ethyl(1,2,2-trimethyl)propylamino groups, ethylcyclohexylamino groups, propylisopropylamino groups, propylbutylamino groups, propylisobutylamino groups, propyl-sec-butylamino groups, propyl-tert-butylamino groups, propylcyclobutylamino groups, propylpentylamino groups, propyl(1-methyl)butylamino groups, propyl(2-methyl)butylamino groups, propylisopentylamino groups, propyl-tert-pentylamino groups, propyl(1,2-dimethyl)propylamino groups, propylneopentylamino groups, propyl(1-ethyl)propylamino groups, propylcyclopentylamino groups, propylhexylamino groups, propyl(1-methyl)pentylamino groups, propyl(2-methyl)pentylamino groups, propyl(3-methyl)pentylamino groups, propylisohexylamino groups, propyl(1-ethyl)butylamino groups, propyl(2-ethyl)butylamino groups, propyl(1,1,-dimethyl)butylamino groups, propyl(1,2-dimethyl)butylamino groups, propyl(1,3-dimethyl)butylamino groups, propyl(2,2,-dimethyl)butylamino groups, propyl(2,3-dimethyl)butylamino groups, propyl(3,3-dimethyl)butylamino groups, propyl(1-methyl-1-ethyl)propylamino groups, propyl(1-ethyl-2-methyl)propylamino groups, propyl(1,1,2-trimethyl)propylamino groups, propyl(1,2,2-trimethyl)propylamino groups, propylcyclohexylamino groups, isopropylbutylamino groups,

[0025]

isopropylisobutylamino groups, isopropyl-sec-butylamino groups, isopropylpentylamino groups, isopropyl(1-methyl)butylamino groups, isopropyl(2-methyl)butylamino groups, isopropylisopentylamino groups, isopropyl(1,2-dimethyl)propylamino groups, isopropylneopentylamino groups, isopropyl(1-ethyl)propylamino groups, isopropylhexylamino groups, isopropyl(1-methyl)pentylamino groups, isopropyl(2-methyl)pentylamino groups, isopropyl(3-methyl)pentylamino groups, isopropylisohexylamino groups, isopropyl(1-ethyl)butylamino groups, isopropyl(2-ethyl)butylamino groups, isopropyl(1,2-dimethyl)butylamino groups, isopropyl(1,3-dimethyl)butylamino groups, isopropyl(2,2-dimethyl)butylamino groups, isopropyl(2,3-dimethyl)butylamino groups, isopropyl(3,3-dimethyl)butylamino groups, isopropyl(1-methyl-2-ethyl)propylamino groups, isopropyl(1-ethyl-2-ethyl)propylamino groups, isopropyl(1,2,2-trimethyl)propylamino groups, butylisobutylamino groups, butyl-sec-butylamino groups, butyl-tert-butylamino groups, butylcyclobutylamino groups, butylpentylamino groups, butyl(1-methyl)butylamino groups, butyl(2-methyl)butylamino groups, butylisopentylamino groups, butyl-tert-pentylamino groups, butyl(1,2-dimethyl)propylamino groups, butylneopentylamino groups, butyl(1-ethyl)propylamino groups, butylcyclopentylamino groups, butylhexylamino groups, butyl(1-methyl)pentylamino groups, butyl(2-methyl)pentylamino groups, butyl(3-methyl)pentylamino groups, butylisohexylamino groups, butyl(1-ethyl)butylamino groups, butyl(2-ethyl)butylamino groups, butyl(1,1-dimethyl)butylamino groups, butyl(1,2-dimethyl)butylamino groups, butyl(1,3-dimethyl)butylamino groups, butyl(2,2-dimethyl)butylamino groups, butyl(2,3-dimethyl)butylamino groups, butyl(3,3-dimethyl)butylamino groups, butyl(1-methyl-1-ethyl)propylamino groups, butyl(1-ethyl-2-methyl)propylamino groups, butyl(1,1,2-trimethyl)propylamino groups, butyl(1,2,2-trimethyl)propylamino groups, butylcyclohexylamino groups,

[0026]

isobutyl-sec-butylamino groups, isobutylpentylamino groups, isobutyl(1-methyl)butylamino groups,
isobutyl(2-methyl)butylamino groups, isobutylisopentylamino groups,
isobutyl(1,2-dimethyl)propylamino groups, isobutylneopentylamino groups,
isobutyl(1-ethyl)propylamino groups, isobutylhexylamino groups, isobutyl(1-methyl)pentylamino /8
groups, isobutyl(2-methyl)pentylamino groups, isobutyl(3-methyl)pentylamino groups,
isobutylisohexylamino groups, isobutyl(1-ethyl)butylamino groups, isobutyl(2-ethyl)butylamino groups,
isobutyl(1,1-dimethyl)butylamino groups, isobutyl(1,2-dimethyl)butylamino groups,
isobutyl(1,3-dimethyl)butylamino groups, isobutyl(2,2-dimethyl)butylamino groups,
isobutyl(2,3-dimethyl)butylamino groups, isobutyl(3,3-dimethyl)butylamino groups,
isobutyl(1-methyl-1-ethyl)propylamino groups, isobutyl(1-ethyl-2-methyl)propylamino groups,
isobutyl(1,1,2-trimethyl)propylamino groups, isobutyl(1,2,2-trimethyl)propylamino groups,
sec-butylpentylamino groups, sec-butyl(1-methyl)butylamino groups, sec-butyl(2-methyl)butylamino
groups, sec-butylisopentylamino groups, sec-butyl(1,2-dimethyl)propylamino groups,
sec-butylneopentylamino groups, sec-butyl(1-methyl)propylamino groups, sec-butylhexylamino groups,
sec-butyl(1-methyl)pentylamino groups, sec-butyl(2-methyl)pentylamino groups,
sec-butyl(3-methyl)pentylamino groups, sec-butylisohexylamino groups, sec-butyl(1-ethyl)butylamino
groups, sec-butyl(2-methyl)butylamino groups, sec-butyl(1,2-dimethyl)butylamino groups,
sec-butyl(1,3-dimethyl)butylamino groups, sec-butyl(2,2-dimethyl)butylamino groups,
sec-butyl(2,3-dimethyl)butylamino groups, sec-butyl(3,3-dimethyl)butylamino groups,
sec-butyl(1-ethyl-2-methyl)propylamino groups, sec-butyl(1,2,2-trimethyl)propylamino groups,
tert-butylpentylamino groups, tert-butyl(2-methyl)butylamino groups, tert-butylisopentylamino groups,

tert-butylhexylamino groups, tert-butyl(2-methyl)pentylamino groups, tert-butyl(3-methyl)pentylamino groups, tert-butylisohexylamino groups, tert-butyl(2-methyl)butylamino groups, tert-butyl(2,2-dimethyl)butylamino groups, tert-butyl(2,3-dimethyl)butylamino groups, tert-butyl(3,3-dimethyl)butylamino groups, cyclobutylpentylamino groups,

[0027]

cyclobutyl(2-methyl)butylamino groups, cyclobutylisopentylamino groups, cyclobutylhexylamino groups, cyclobutyl(2-methyl)pentylamino groups, cyclobutyl(3-methyl)pentylamino groups, cyclobutylisohexylamino groups, cyclobutyl(2-ethyl)butylamino groups, cyclobutyl(2,2-dimethyl)butylamino groups, cyclobutyl(2,3-dimethyl)butylamino groups, cyclobutyl(3,3-dimethyl)butylamino groups, pentyl(1-methyl)butylamino groups, pentyl(2-methyl)butylamino groups, pentylisopentylamino groups, pentyl tert-pentylamino groups, pentyl(1,2-dimethyl)propylamino groups, pentylneopentylamino groups, pentyl(1-ethyl)propylamino groups, pentylcyclopentylamino groups, pentylhexylamino groups, pentyl(1-methyl)pentylamino groups, pentyl(2-methyl)pentylamino groups, pentyl(3-methyl)pentylamino groups, pentylisohexylamino groups, pentyl(1-ethyl)butylamino groups, pentyl(2-ethyl)butylamino groups, pentyl(1,1-dimethyl)butylamino groups, pentyl(1,2-dimethyl)butylamino groups, pentyl(1,3-dimethyl)butylamino groups, pentyl(2,2-dimethyl)butylamino groups, pentyl(2,3-dimethyl)butylamino groups, pentyl(3,3-dimethyl)butylamino groups, pentyl(1-methyl-2-ethyl)propylamino groups, pentyl(1-ethyl-2-methyl)propylamino groups, pentyl(1,1,2-trimethyl)propylamino groups, pentyl(1,2,2-trimethyl)propylamino groups, pentylcyclohexylamino groups, 1-methylbutyl(2-methyl)butylamino groups, 1-methylbutylisopentylamino groups, 1-methylbutyl(1,2-dimethyl)propylamino groups, 1-methylbutylneopentylamino groups,

1-methylbutyl(1-ethyl)propylamino groups, 1-methylbutylhexylamino groups,
 1-methylbutyl(1-methyl)pentylamino groups, 1-methylbutyl(2-methyl)pentylamino groups,
 1-methylbutyl(3-methyl)pentylamino groups, 1-methylbutylisoethylamino groups,
 1-methylbutyl(1-ethyl)butylamino groups, 1-methylbutyl(2-ethyl)butylamino groups,
 1-methylbutyl(1,2-dimethyl)butylamino groups, 1-methylbutylpentyl(1,3-dimethyl)butylamino groups,
 1-methylbutyl(2,2-dimethyl)butylamino groups, 1-methylbutyl(2,3-dimethyl)butylamino groups,
 1-methylbutyl(3,3-dimethyl)butylamino groups, 1-methylbutyl(1-ethyl-2-methyl)propylamino groups,
 1-methylbutyl(1,2,2-trimethyl)propylamino groups,

[0028]

2-methylbutylisopentylamino groups, 2-methylbutyl tert-pentylamino groups,
 2-methylbutyl(1,2-dimethyl)propylamino groups, 2-methylbutylneopentylamino groups,
 2-methylbutyl(1-ethyl)propylamino groups, 2-methylbutylcyclopentylamino groups,
 2-methylbutylhexylamino groups, 2-methylbutyl(1-methyl)pentylamino groups,
 2-methylbutyl(2-methyl)pentylamino groups, 2-methylbutyl(3-methyl)pentylamino groups,
 2-methylbutylisoethylamino groups, 2-methyl
 butyl(1-ethyl)butylamino groups, 2-methylbutyl(2-ethyl)butylamino groups,
 2-methylbutyl(1,1-dimethyl)butylamino groups, 2-methylbutyl(1,2-dimethyl)butylamino groups,
 2-methylbutyl(1,3-dimethyl)butylamino groups, 2-methylbutyl(2,2-dimethyl)butylamino groups,
 2-methylbutyl(2,3-dimethyl)butylamino groups, 2-methylbutyl(3,3-dimethyl)butylamino groups,
 2-methylbutyl(1-methyl-1-ethyl)propylamino groups, 2-methylbutyl(1-ethyl-2-methyl)propylamino
 groups, 2-methylbutyl(1,1,2-trimethyl)propylamino groups, 2-methylbutyl(1,2,2-trimethyl)propylamino
 groups, 2-methylbutylcyclohexylamino groups, isopentyl tert-pentylamino groups,

/9

isopentyl(1,2-dimethyl)propylamino groups, isopentylneopentylamino groups,
isopentyl(1-ethyl)propylamino groups, isopentylcyclopentylamino groups, isopentylhexylamino groups,
isopentyl(1-methyl)pentylamino groups, isopentyl(2-methyl)pentylamino groups,
isopentyl(3-methyl)pentylamino groups, isopentylisohexylamino groups, isopentyl(1-ethyl)butylamino
groups, isopentyl(2-ethyl)butylamino groups, isopentyl(1,1-dimethyl)butylamino groups,
isopentyl(1,2-dimethyl)butylamino groups, isopentyl(1,3-dimethyl)butylamino groups,
isopentyl(2,2-dimethyl)butylamino groups, isopentyl(2,3-dimethyl)butylamino groups,
isopentyl(3,3-dimethyl)butylamino groups, isopentyl(1-methy-1-ethyl)propylamino groups,
isopentyl(1-ethyl-2-methyl)propylamino groups, isopentyl(1,1,2-trimethyl)propylamino groups,
isopentyl(1,2,2-trimethyl)propylamino groups, isopentylcyclohexylamino groups,
tert-pentylneopentylamino groups, tert-pentylhexylamino groups, tert-pentyl(2-methyl)pentylamino
groups, tert-pentyl(3-methyl)pentylamino groups, tert-pentylisohexylamino groups,
tert-pentyl(2-ethyl)butylamino groups, tert-pentyl(2,2-dimethyl)butylamino groups,
tert-pentyl(2,3-dimethyl)butylamino groups, tert-pentyl(3,3-dimethyl)butylamino groups,

[0029]

1,2-dimethylpropylneopentylamino groups, 1,2-dimethylpropyl(1-ethyl)propylamino groups,
1,2-dimethylpropylhexylamino groups, 1,2-dimethylpropyl(1-methyl)pentylamino groups,
1,2-dimethylpropyl(2-methyl)pentylamino groups, 1,2-dimethylpropyl(3-methyl)pentylamino groups,
1,2-dimethylpropylisohexylamino groups, 1,2-dimethylpropyl(1-ethyl)butylamino groups,
1,2-dimethylpropyl(2-ethyl)butylamino groups, 1,2-dimethylpropyl(1,2-dimethyl)butylamino groups,
1,2-dimethylpropyl(1,3-dimethyl)butylamino groups, 1,2-dimethylpropyl(2,2-dimethyl)butylamino
groups, 1,2-dimethylpropyl(2,3-dimethyl)butylamino groups,

1,2-dimethylpropyl(3,3-dimethyl)butylamino groups, 1,2-dimethylpropyl(1-ethyl-2-methyl)propylamino groups, 1,2-dimethylpropyl(1,2,2-trimethyl)propylamino groups, neopentyl(1-ethyl)propylamino groups, neopentylcyclopentylamino groups, neopentylhexylamino groups, neopentyl(1-methyl)pentylamino groups, neopentyl(2-methyl)pentylamino groups, neopentyl(3-methyl)pentylamino groups, neopentylisohexylamino groups, neopentyl(1-ethyl)butylamino groups, neopentyl(2-ethyl)butylamino groups, neopentyl(1,1-dimethyl)butylamino groups, neopentyl(1,2-dimethyl)butylamino groups, neopentyl(1,3-dimethyl)butylamino groups, neopentyl(2,2-dimethyl)butylamino groups, neopentyl(2,3-dimethyl)butylamino groups, neopentyl(3,3-dimethyl)butylamino groups, neopentyl(1-methyl-2-ethyl)propylamino groups, neopentyl(1-ethyl-2-methyl)propylamino groups, neopentyl(1,1,2-trimethyl)propylamino groups, neopentyl(1,2,2-trimethyl)propylamino groups, neopentylcyclohexylamino groups, 1-ethylpropyl cyclopentylamino groups, 1-ethylpropylhexylamino groups, 1-ethylpropyl(1-methyl)pentylamino groups, 1-ethylpropyl(2-methyl)pentylamino groups, 1-ethylpropyl(3-methyl)pentylamino groups, 1-ethylpropylisohexylamino groups, 1-ethylpropyl(1-ethyl)butylamino groups, 1-ethylpropyl(2-ethyl)butylamino groups, 1-ethylpropyl(1,1-dimethyl)butylamino groups, 1-ethylpropyl(1,2-dimethyl)butylamino groups, 1-ethylpropyl(1,3-dimethyl)butylamino groups, 1-ethylpropyl(2,2-dimethyl)butylamino groups, 1-ethylpropyl(2,3-dimethyl)butylamino groups, 1-ethylpropyl(3,3-dimethyl)butylamino groups, 1-ethylpropyl(1-ethyl-2-methyl)propylamino groups, 1-ethylpropyl(1,2,2-trimethyl)propylamino groups, cyclopentylhexylamino groups,

[0030]

cyclopentyl(2-methyl)pentylamino groups, cyclopentyl(3-methyl)pentylamino groups,
cyclopentylisohexylamino groups, cyclopentyl(2-ethyl)butylamino groups,
cyclopentyl(2,2-dimethyl)butyl
amino groups, cyclopentyl(2,3-dimethyl)butylamino groups, cyclopentyl(3,3-dimethyl)butylamino
groups, hexyl(1-methyl)pentylamino groups, hexyl(2-methyl)pentylamino groups,
hexyl(3-methyl)pentylamino groups, hexylisohexylamino groups, hexyl(1-ethylbutyl)amino groups,
hexyl(2-ethyl)butylamino groups, hexyl(1,1-dimethylbutyl)amino groups,
hexyl(1,2-dimethyl)butylamino groups, hexyl(1,3-dimethyl)butylamino groups,
hexyl(2,2-dimethyl)butylamino groups, hexyl(2,3-dimethyl)butylamino groups,
hexyl(3,3-dimethyl)butylamino groups, hexyl(1-methyl-1-ethylpropyl)amino groups,
hexyl(1-ethyl-2-methyl)propylamino groups, hexyl(1,1,2-trimethyl)propylamino groups,
hexyl(1,2,2-trimethyl)propylamino groups, hexylcyclohexylamino groups,
1-methylpentyl(2-methyl)pentylamino groups, 1-methylpentyl(3-methyl)pentylamino groups,
1-methylpentylisohexylamino groups, 1-methylpentyl(1-ethyl)butylamino groups,
1-methylpentyl(2-ethyl)butylamino groups, 1-methylpentyl(1,2-dimethyl)butylamino groups,
1-methylpentyl(1,3-dimethyl)butylamino groups, 1-methylpentyl(2,2-dimethyl)butylamino groups,
1-methylpentyl(2,3-dimethyl)butylamino groups, 1-methylpentyl(3,3-dimethyl)butylamino groups,
1-methylpentyl(1-ethyl-2-methyl)propylamino groups, 1-methylpentyl(1,2,2-trimethyl)propylamino
groups, 2-methylpentyl(3-methyl)pentylamino groups, 2-methylpentylisohexylamino groups,
1-methylpentyl(1-ethyl)butylamino groups, 2-methylpentyl(2-ethyl)butylamino groups,
2-methylpentyl(1,1-dimethyl)butylamino groups, 2-methylpentyl(1,2-dimethyl)butylamino groups,
2-methylpentyl(1,3-dimethyl)butylamino groups, 2-methylpentyl(2,2-dimethyl)butylamino groups,

/10

2-methylpentyl(2,3-dimethyl)butylamino groups, 2-methylpentyl(3,3-dimethyl)butylamino groups,
2-methylpentyl(1-methyl-1-ethyl)propylamino groups, 2-methylpentyl(1-ethyl-2-methyl)propylamino
groups, 2-methylpentyl(1,1,2-trimethylpropyl)amino groups,
2-methylpentyl(1,2,2-trimethyl)propylamino groups, 2-methylpentylcyclohexylamino groups,
3-methylpentylisohexylamino groups,

[0031]

3-methylpentyl(1-ethyl)butylamino groups, 3-methylpentyl(2-ethyl)butylamino groups,
3-methylpentyl(1,1-dimethyl)butylamino groups, 3-methylpentyl(1,2-dimethyl)butylamino groups,
3-methylpentyl(1,3-dimethyl)butylamino groups, 3-methylpentyl(2,2-dimethyl)butylamino groups,
3-methylpentyl(2,3-dimethyl)butylamino groups, 3-methylpentyl(3,3-dimethyl)butylamino groups,
3-methylpentyl(1-methyl-1-ethyl)propylamino groups, 3-methylpentyl(1-ethyl-2-methyl)propylamino
groups, 3-methylpentyl(1,1,2-trimethyl)propylamino groups,
3-methylpentyl(1,2,2-trimethyl)propylamino groups, 3-methylpentylcyclohexylamino groups,
isohexyl(1-ethyl)butylamino groups, isohexyl(2-ethyl)butylamino groups,
isohexyl(1,1,-dimethyl)butylamino groups, isohexyl(1,2-dimethyl)butylamino groups,
isohexyl(1,3-dimethyl)butylamino groups, isohexyl(2,2-dimethyl)butylamino groups,
isohexyl(2,3-dimethyl)butylamino groups, isohexyl(3,3-dimethyl)butylamino groups,
isohexyl(1-methyl-1-ethyl)propylamino groups, isohexyl(1-ethyl-2-methyl)propylamino groups,
isohexyl(1,1,2-trimethyl)propylamino groups, isohexyl(1,2,2-trimethyl)propylamino groups,
isohexylcyclohexylamino groups, 1-ethylbutyl(2-ethyl)butylamino groups,
1-ethylbutyl(1,1-dimethyl)butylamino groups, 1-ethylbutyl(1,2-dimethyl)butylamino groups,
1-ethylbutyl(1,3-dimethyl)butylamino groups, 1-ethylbutyl(2,2-dimethyl)butylamino groups,

1-ethylbutyl(2,3-dimethyl)butylamino groups, 1-ethylbutyl(3,3-dimethyl)butylamino groups,
 1-ethylbutyl(1-ethyl-2-methyl)propylamino groups, 1-ethylbutyl(1,2,2-trimethyl)propylamino groups,
 2-ethylbutyl(1,1-dimethyl)butylamino groups, 2-ethylbutyl(1,2-dimethyl)butylamino groups,
 2-ethylbutyl(1,3-dimethyl)butylamino groups, 2-ethylbutyl(2,2-dimethyl)butylamino groups,
 2-ethylbutyl(2,3-dimethyl)butylamino groups, 2-ethylbutyl(3,3-dimethyl)butylamino groups,
 2-ethylbutyl(1-methyl-1-ethyl)propylamino groups, 2-ethylbutyl(1-ethyl-2-methyl)propylamino groups,
 2-ethylbutyl(1,1,2-trimethyl)propylamino groups, 2-ethylbutyl(1,2,2-trimethyl)propylamino groups,
 2-ethylbutylcyclohexylamino groups,

[0032]

1,1-dimethylbutyl(2,2-dimethyl)butylamino groups, 1,1-dimethylbutyl(2,3-dimethyl)
 butylamino groups, 1,1-dimethylbutyl(3,3-dimethyl)butylamino groups, 1,2-dimethylbutyl
 (1,3-dimethyl)butylamino groups, 1,2-dimethylbutyl(2,2-dimethyl)butylamino groups,
 1,2-dimethylbutyl(2,3-dimethyl)butylamino groups, 1,2-dimethylbutyl(3,3-dimethyl)butylamino groups,
 1,2-dimethylbutyl(1-ethyl-2-methyl)propylamino groups,
 1,2-dimethylbutyl(1,2,2-trimethyl)propylamino groups, 1,2-dimethylbutylcyclohexylamino groups,
 1,3-dimethylbutyl(3,3-dimethyl)butylamino groups, 1,3-dimethylbutyl(2,3-dimethyl)butylamino groups,
 1,3-dimethylbutyl(3,3-dimethyl)butylamino groups, 1,3-dimethylbutyl(1-ethyl-2-methyl)propylamino
 groups, 1,3-dimethylbutyl(1,2,2-trimethyl)propylamino groups, 1,3-dimethylbutylcyclohexylamino
 groups, 2,2-dimethylbutyl(2,3-dimethyl)butylamino groups, 2,2-dimethylbutyl(3,3-dimethyl)butylamino
 groups, 2,2-dimethylbutyl(1-methyl-1-ethyl)propylamino groups,
 2,2-dimethylbutyl(1-ethyl-2-methyl)propylamino groups,
 2,2-dimethylbutyl(1,1,2-trimethyl)propylamino groups, 2,2-dimethylbutyl(1,2,3-trimethyl)propylamino

/11

groups, 2,2-dimethylbutylcyclohexylamino groups, 2,3-dimethylbutyl(3,3-dimethyl)butylamino groups, 2,3-dimethylbutyl(1-methyl-1-ethyl)propylamino groups, 2,3-dimethylbutyl(1-ethyl-2-methyl)propylamino groups, 2,3-dimethylbutyl(1,1,2-trimethyl)propylamino groups, 2,3-dimethylbutyl(1,2,2-trimethylpropyl)amino groups, 2,3-dimethylbutylcyclohexylamino groups, 3,3-dimethylbutyl(1-methyl-1-ethylpropyl)amino groups, 3,3-dimethylbutyl(1-ethyl-2-methyl)propylamino groups, 3,3-dimethylbutyl(1,1,2-trimethyl)propylamino groups, 3,3-dimethylbutyl(1,2,2-trimethyl)propylamino groups, 3,3-dimethylbutylcyclohexylamino groups, 1-ethyl-2-methylpropyl(1,2,2-trimethyl)propylamino groups, 1-ethyl-2-methylpropylcyclohexylamino groups, 1,2,2-trimethylpropylcyclohexylamino groups, etc. can be cited. Of these, amino groups substituted with 1 or 2 C₁₋₄ straight chain or branched alkyl groups are particularly favorable.

[0033]

"Mono- or di-substituted lower alkylcarbonylamino groups" refer to amino groups substituted with 1 or 2 C₂₋₇ straight chain, branched or cyclic alkylcarbonyl groups. For example, acetylamino groups, propionylamino groups, butyrylamino groups, isobutyrylamino groups, cyclopropylcarbonylamino groups, valerylamino groups, isovalerylamino groups, sec-butylcarbonylamino groups, pivaloylamino groups, cyclobutylcarbonylamino groups, pentylcarbonylamino groups, 1-methylbutylcarbonylamino groups, 2-methylbutylcarbonylamino groups, isopentylcarbonylamino groups, tert-pentylcarbonylamino groups, 1,2-dimethylpropylcarbonylamino groups, neopentylcarbonylamino groups, 1-ethylpropylcarbonylamino groups, cyclopentylcarbonylamino groups, hexylcarbonylamino groups, 1-methylpentylcarbonylamino groups, 2-methylpentylcarbonylamino groups, 3-methylpentylcarbonylamino groups, isohexylcarbonylamino groups, 1-ethylbutylcarbonylamino

groups, 2-ethylbutylcarbonylamino groups, 1,1-dimethylbutylcarbonylamino groups, 1,2-dimethylbutylcarbonylamino groups, 1,3-dimethylbutylcarbonylamino groups, 2,2-dimethylbutylcarbonylamino groups, 2,3-dimethylbutylcarbonylamino groups, 3,3-dimethylbutylcarbonylamino groups, 1-methyl-1-ethylpropylcarbonylamino groups, 1-ethyl-2-methylpropylcarbonylamino groups, 1,1,2-trimethylpropylcarbonylamino groups, 1,2,2-trimethylpropylcarbonylamino groups, cyclohexylcarbonylamino groups, diacetylamino groups, dipropionylamino groups, dibutyrylamino groups, diisobutyrylamino groups, divalerylamino groups, diisovalerylamino groups, acetylpropionylamino groups, acetylbutyrylamino groups, acetylisobutyrylamino groups, acetylvalerylamino groups, propionylbutyrylamino groups, propionylisobutyrylamino groups, propionylvalerylamino groups, butyrylisobutyrylamino groups, butyrylvalerylamino groups, isobutyrylvalerylamino groups, etc. can be cited. Of these, amino groups substituted with 1 or 2 C₂₋₅ straight chain or branched alkyl groups are particularly favorable.

[0034]

In the present invention, "lower alkoxy carbonyl groups" refer to C₂₋₇ straight chain, branched or cyclic alkoxy carbonyl groups. "Mono- or di-lower alkoxy carbonylamino groups" refer to amino groups substituted with 1 or 2 C₂₋₇ straight chain, branched or cyclic alkoxy carbonyl groups. Consequently, for "mono- or di-lower alkoxy carbonylamino groups," for example, methoxycarbonylamino groups, ethoxycarbonyl groups, propoxycarbonylamino groups, isopropoxycarbonylamino groups, butoxycarbonylamino groups, isobutoxycarbonylamino groups, sec-butoxycarbonylamino groups, tert-butoxycarbonylamino groups, cyclobutoxycarbonylamino groups, pentyloxycarbonylamino groups, 1-methylbutoxycarbonylamino groups, 2-methylbutoxycarbonylamino groups,

/12

isopentyloxycarbonylamino groups, tert-pentyloxycarbonylamino groups,
 1,2-dimethylpropoxycarbonylamino groups, neopentyloxycarbonylamino groups,
 1-ethylpropoxycarbonylamino groups, cyclopentyloxycarbonylamino groups, hexyloxycarbonylamino
 groups, 1-methylpentyloxycarbonylamino groups, 2-methylpentyloxycarbonylamino groups,
 3-methylpentyloxycarbonylamino groups, isohexyloxycarbonylamino groups,
 1-ethylbutoxycarbonylamino groups, 2-ethylbutoxycarbonylamino groups,
 1,1-dimethylbutoxycarbonylamino groups, 1,2-dimethylbutoxycarbonylamino groups,
 1,3-dimethylbutoxycarbonylamino groups, 2,2-dimethylbutoxycarbonylamino groups,
 2,3-dimethylbutoxycarbonylamino groups, 3,3-dimethylbutoxycarbonylamino groups,
 1-methyl-1-ethylpropoxycarbonylamino groups, 1-ethyl-2-methylpropoxycarbonylamino groups,
 1,1,2-trimethylpropoxycarbonylamino groups, 1,2,2-trimethylpropoxycarbonylamino groups,
 cyclohexyloxycarbonylamino groups, dimethoxycarbonylamino groups, diethoxycarbonylamino groups,
 methoxycarbonylethoxycarbonylamino groups, dipropoxycarbonylamino groups,
 methoxycarbonylpropoxycarbonylamino groups, ethoxycarbonylpropoxycarbonylamino groups,
 dicyclopropoxycarbonylamino groups, diisopropoxycarbonylamino groups,
 methoxycarbonylisopropoxycarbonylamino groups, ethoxycarbonylisopropoxycarbonylamino groups,
 propoxycarbonylisopropoxycarbonylamino groups, dibutoxycarbonylamino groups,

[0035]

methoxycarbonylbutoxycarbonylamino groups, ethoxycarbonylbutoxycarbonylamino groups,
 propoxycarbonylbutoxycarbonylamino groups, isopropoxycarbonylbutoxycarbonylamino groups,
 diisobutoxycarbonylamino groups, methoxycarbonylisobutoxycarbonylamino groups,
 ethoxycarbonylisobutoxycarbonylamino groups, propoxycarbonylisobutoxycarbonylamino groups,

isopropoxycarbonylisobutoxycarbonylamino groups, butoxycarbonylisobutoxycarbonylamino groups,
 di-sec-butoxycarbonylamino groups, methoxycarbonyl sec-butoxycarbonylamino groups,
 ethoxycarbonyl sec-butoxycarbonylamino groups, propoxycarbonyl sec-butoxycarbonylamino groups,
 isopropoxycarbonyl sec-butoxycarbonylamino groups, butoxycarbonyl sec-butoxycarbonylamino groups,
 isobutoxycarbonyl sec-butoxycarbonylamino groups, di-tert-butoxycarbonylamino groups,
 methoxycarbonyl tert-butoxycarbonylamino groups, ethoxycarbonyl tert-butoxycarbonylamino groups,
 propoxycarbonyl tert-butoxycarbonylamino groups, isopropoxycarbonyl tert-butoxycarbonylamino
 groups, butoxycarbonyl tert-butoxycarbonylamino groups, isobutoxycarbonyl tert-butoxycarbonylamino
 groups, sec-butoxycarbonyl tert-butoxycarbonylamino groups, dicyclobutoxycarbonylamino groups,
 dipentyloxy carbonylamino groups, di-1-methylbutoxycarbonylamino groups,
 di-2-methylbutoxycarbonylamino groups, diisopentyloxy carbonylamino groups,
 di-tert-pentyloxy carbonylamino groups, di-1,2-dimethylpropoxycarbonylamino groups,
 dineopentyloxy carbonylamino groups, di-1-ethylpropoxycarbonylamino groups,
 dicyclopentyloxy carbonylamino groups, methoxycarbonylcyclopentyloxy carbonylamino groups,
 ethoxycarbonylcyclopentyloxy carbonylamino groups, propoxycarbonylcyclopentyloxy carbonylamino
 groups, isopropoxycarbonylcyclopentyloxy carbonylamino groups,
 butoxycarbonylcyclopentyloxy carbonylamino groups, isobutoxycarbonylcyclopentyloxy carbonylamino
 groups, sec-butoxycarbonylcyclopentyloxy carbonylamino groups,
 tert-butoxycarbonylcyclopentyloxy carbonylamino groups,
 pentyloxy carbonylcyclopentyloxy carbonylamino groups, dihexyloxy carbonylamino groups,
 methoxycarbonylhexyloxy amino groups, ethoxycarbonylhexyloxy carbonylamino groups,
 propoxycarbonylhexyloxy carbonylamino groups, isopropoxycarbonylhexyloxy carbonylamino groups,

butoxycarbonylhexyloxy carbonylamino groups, isobutoxycarbonylhexyloxy carbonylamino groups,
sec-butoxycarbonylhexyloxy carbonylamino groups,

[0036]

tert-butoxycarbonylhexyloxy carbonylamino groups, pentyloxy carbonylhexyloxy carbonylamino
groups, cyclopentyloxy carbonylhexyloxy carbonylamino groups,
neopentyloxy carbonylhexyloxy carbonylamino groups, 1-methylbutoxy carbonylhexyloxy carbonylamino
groups, 2-methylbutoxy carbonylhexyloxy carbonylamino groups,
3-methylbutoxy carbonylhexyloxy carbonylamino groups,
1-ethylpropoxy carbonylhexyloxy carbonylamino groups, di-1-methylpentyloxy carbonylamino groups,
di-2-methylpentyloxy carbonylamino groups, di-3-methylpentyloxy carbonylamino groups,
diisohexyloxy carbonylamino groups, di-1-ethylbutoxy carbonylamino groups,
di-2-ethylbutoxy carbonylamino groups, di-1,1-dimethylbutoxy carbonylamino groups, /13
di-1,2-dimethylbutoxy carbonylamino groups, di-1,3-dimethylbutoxy carbonylamino groups,
di,2,2-dimethylbutoxy carbonylamino groups, di-2,3-dimethylbutoxy carbonylamino groups,
di-3,3-dimethylbutoxy carbonylamino groups, di-1-methyl-1-ethylpropoxy carbonylamino groups,
di-1-ethyl-2-methylpropoxy carbonylamino groups, di-1,1,2-trimethylpropoxy carbonylamino groups,
di-1,2,2-trimethylpropoxy carbonylamino groups, dicyclohexyloxy carbonylamino groups,
methoxycarbonylcyclohexyloxy amino groups, ethoxycarbonylcyclohexyloxy carbonylamino groups,
propoxycarbonylcyclohexyloxy carbonylamino groups, isopropoxycarbonylcyclohexyloxy carbonylamino
groups, butoxycarbonylcyclohexyloxy carbonylamino groups,
isobutoxycarbonylcyclohexyloxy carbonylamino groups,
sec-butoxycarbonylcyclohexyloxy carbonylamino groups,

tert-butoxycarbonylcyclohexyloxy carbonylamino groups,
 pentyloxycarbonylcyclohexyloxy carbonylamino groups,
 cyclopentyloxycarbonylcyclohexyloxy carbonylamino groups,
 neopentyloxycarbonylcyclohexyloxy carbonylamino groups,
 1-methylbutoxycarbonylcyclohexyloxy carbonylamino groups,
 2-methylbutoxycarbonylcyclohexyloxy carbonylamino groups,
 3-methylbutoxycarbonylcyclohexyloxy carbonylamino groups,
 1-ethylpropoxycarbonylcyclohexyloxy carbonylamino groups, etc. can be cited. "Lower alkylsulfonylamino groups" refers to amino groups substituted with 1 C₁₋₆ straight chain, branched or cyclic alkylsulfonyl group.

[0037]

"Mono- or di-lower alkylaminoalkylamino groups" refers to mono- or di-C₁₋₆ alkylamino C₁₋₆ alkylamino groups. For example, methylaminomethylamino groups, methylaminoethylamino groups, methylaminopropylamino groups, methylaminobutylamino groups, ethylaminomethylamino groups, ethylaminoethylamino groups, ethylaminopropylamino groups, ethylaminobutylamino groups, propylaminomethylamino groups, propylaminoethylamino groups, propylaminopropylamino groups, propylaminobutylamino groups, isopropylaminomethylamino groups, isopropylaminoethylamino groups, isopropylaminopropylamino groups, isopropylaminobutylamino groups, butylaminomethylamino groups, butylaminoethylamino groups, isobutylaminomethylamino groups, isobutylaminoethylamino groups, sec-butylaminomethylamino groups, sec-butylaminoethylamino groups, tert-butylaminomethylamino groups, tert-butylaminoethylamino groups, dimethylaminomethylamino groups, dimethylaminoethylamino groups, dimethylaminopropylamino groups, dimethylaminobutylamino groups,

diethylaminomethylamino groups, diethylaminoethylamino groups, diethylaminopropylamino groups, dipropylaminomethylamino groups, dipropylaminoethylamino groups, dipropylaminopropylamino groups, diisopropylaminomethylamino groups, diisopropylaminoethylamino groups, diisopropylaminopropylamino groups, dibutylaminoethylamino groups, dibutylaminobutylamino groups, diisobutylaminomethylamino groups, diisobutylaminobutylamino groups, methylethylaminomethylamino groups, methylethylaminobutylamino groups, methylpropylaminomethylamino groups, methylpropylaminoethylamino groups, methylpropylaminopropylamino groups, methylpropylaminobutylamino groups, methylisopropylaminomethylamino groups, methylisopropylaminoethylamino groups, methylisopropylaminopropylamino groups, methylisopropylaminobutylamino groups, ethylisopropylaminomethylamino groups, ethylisopropylaminoethylamino groups, ethylisopropylaminopropylamino groups, ethylisopropylaminobutylamino groups, ethylpropylaminomethylamino groups, ethylpropylaminoethylamino groups, ethylpropylaminopropylamino groups, ethylpropylaminobutylamino groups, methylbutylaminomethylamino groups, methylbutylaminoethylamino groups, methylbutylaminopropylamino groups, methylbutylaminobutylamino groups, ethylbutylaminomethylamino groups, ethylbutylaminoethylamino groups,

[0038]

ethylbutylaminopropylamino groups, ethylbutylaminobutylamino groups, propylbutylaminomethylamino groups, propylbutylaminoethylamino groups, propylbutylaminopropylamino groups, propylbutylaminobutylamino groups, isopropylbutylaminomethylamino groups, isopropylbutylaminoethylamino groups,

isopropylbutylaminopropylamino groups, isopropylbutylaminobutylamino groups,
dicyclopropylaminomethylamino groups, dicyclopropylaminoethylamino groups,
dicyclopropylaminopropylamino groups, dicyclopropylaminobutylamino groups,
methylcyclopropylaminomethylamino groups, methylcyclopropylaminoethylamino groups,
methylcyclopropylaminopropylamino groups, methylcyclopropylaminobutylamino groups,
ethylcyclopropylaminomethylamino groups, ethylcyclopropylaminoethylamino groups,
ethylcyclopropylaminopropylamino groups, ethylcyclopropylaminobutylamino groups,
cyclopropylpropylaminomethylamino groups, cyclopropylpropylaminoethylamino groups,
cyclopropylpropylaminopropylamino groups,
cyclopropylpropylaminobutylamino groups, cyclopropylisopropylaminomethylamino groups,
cyclopropylisopropylaminoethylamino groups, cyclopropylisopropylaminopropylamino groups,
cyclopropylisopropylaminobutylamino groups, cyclopropylbutylaminomethylamino groups,
cyclopropylbutylaminoethylamino groups, cyclopropylbutylaminopropylamino groups,
cyclopropylbutylaminobutylamino groups, cyclopentylmethylaminomethylamino groups,
cyclopentylmethylaminoethylamino groups, cyclopentylmethylaminopropylamino groups,
cyclopentylmethylaminobutylamino groups,

/14

[0039]

cyclopentylethylaminomethylamino groups, cyclopentylethylaminoethylamino groups,
cyclopentylethylaminopropylamino groups, cyclopentylethylaminobutylamino groups,
cyclopentylpropylaminomethylamino groups, cyclopentylpropylaminoethylamino groups,
cyclopentylpropylaminopropylamino groups, cyclopentylisopropylaminomethylamino groups,
cyclopentylisopropylaminoethylamino groups, cyclopentylisopropylaminopropylamino groups,

cyclopentylisopropylaminobutylamino groups, cyclopentylbutylaminomethylamino groups, cyclopentylbutylaminoethylamino groups, cyclopentylbutylaminopropylamino groups, cyclopentylbutylaminobutylamino groups,, cyclohexylmethylaminomethylamino groups, cyclohexylmethylaminoethylamino groups, cyclohexylmethylaminopropylamino groups, cyclohexylmethylaminobutylamino groups, cyclohexylethylaminomethylamino groups, cyclohexylethylaminoethylamino groups, cyclohexylethylaminopropylamino groups, cyclohexylethylaminobutylamino groups, cyclohexylpropylaminomethylamino groups, cyclohexylpropylaminoethylamino groups, cyclohexylpropylaminopropylamino groups, cyclohexylisopropylaminomethylamino groups, cyclohexylisopropylaminoethylamino groups, cyclohexylisopropylaminopropylamino groups, cyclohexylisopropylaminobutylamino groups, cyclohexylbutylaminomethylamino groups, cyclohexylbutylaminoethylamino groups, cyclohexylbutylaminopropylamino groups, cyclohexylbutylaminobutylamino groups, etc. can be cited. Of these, mono- or di- C₁₋₄ alkylamino C₁₋₄ alkylamino groups are particularly favorable.

[0040]

"Lower alkoxy carbonyl alkyl groups" refer to alkyl groups substituted with 1 of the above "lower alkoxy carbonyl groups." For example, methoxycarbonylmethyl groups, ethoxycarbonylmethyl groups, propoxycarbonylmethyl groups, cyclopropoxycarbonylmethyl groups, isopropoxycarbonylmethyl groups, butoxycarbonylmethyl groups, isobutoxycarbonylmethyl groups, sec-butoxycarbonylmethyl groups, tert-butoxycarbonylmethyl groups, cyclobutoxycarbonylmethyl groups, pentyloxy carbonylmethyl groups, 1-methylbutoxycarbonylmethyl groups, 2-methylbutoxycarbonylmethyl groups, isopentyloxy carbonylmethyl groups, tert-pentyloxy carbonylmethyl groups, 1,2-dimethylpropoxycarbonylmethyl groups, neopentyloxy carbonylmethyl groups,

1-ethylpropoxycarbonylmethyl groups, cyclopentyloxy carbonylmethyl groups, hexyloxy carbonylmethyl groups, 1-methylpentyloxy carbonylmethyl groups, 2-methylpentyloxy carbonylmethyl groups, 3-methylpentyloxy carbonylmethyl groups, isohexyloxy carbonylmethyl groups, 1-ethylbutoxycarbonylmethyl groups, 2-ethylbutoxycarbonylmethyl groups, 1,1-dimethylbutoxycarbonylmethyl groups, 1,2-dimethylbutoxycarbonylmethyl groups, 1,3-dimethylbutoxycarbonylmethyl groups, 2,2-dimethylbutoxycarbonylmethyl groups, 2,3-dimethylbutoxycarbonylmethyl groups, 3,3-dimethylbutoxycarbonylmethyl groups, 1-methyl-1-ethylpropyloxy carbonylmethyl groups, 1-ethyl-2-methylpropoxycarbonylmethyl groups, 1,1,2-trimethylpropoxycarbonylmethyl groups, 1,2,2-trimethylpropoxycarbonylmethyl groups, cyclohexyloxy carbonylmethyl groups, 1-methoxycarbonylethyl groups, 1-ethoxycarbonylethyl groups, 1-propoxycarbonylethyl groups, 1-cyclopropoxycarbonylethyl groups, 1-isopropoxycarbonylethyl groups, 1-butoxycarbonylethyl groups, 1-isobutoxycarbonylethyl groups, 1-sec-butoxycarbonylethyl groups, 1-tert-butoxycarbonylethyl groups, 1-cyclobutoxycarbonylethyl groups, 1-pentyloxy carbonylethyl groups, 1-(1-methylbutoxycarbonyl)ethyl groups, 1-(2-methylbutoxycarbonyl)ethyl groups, 1-isopentyloxy carbonylethyl groups, 1-tert-pentyloxy carbonylethyl groups, 1-(1,2-dimethylpropoxycarbonyl)ethyl groups, 1-neopentyloxy carbonylethyl groups, 1-(1-ethylpropoxycarbonyl)ethyl groups, 1-cyclopentyloxy carbonylethyl groups, 1-hexyloxy carbonylethyl groups, 1-(1-methylpentyloxy carbonyl)ethyl groups, 1-(2-methylpentyloxy carbonyl)ethyl groups, 1-(3-methylpentyloxy carbonyl)ethyl groups, 1-isohexyloxy carbonylethyl groups, 1-(1-ethylbutoxycarbonyl)ethyl groups, 1-(2-ethylbutoxycarbonyl)ethyl groups, 1-(1,1-dimethylbutoxycarbonyl)ethyl groups, 1-(1,2-dimethylbutoxycarbonyl)ethyl groups,

/15

1-(1,3-dimethylbutoxycarbonyl)ethyl groups, 1-(2,2-dimethylbutoxycarbonyl)ethyl groups,
1-(2,3-dimethylbutoxycarbonyl)ethyl groups, 1-(3,3-dimethylbutoxycarbonyl)ethyl groups,
1-(1-methyl-1-ethylpropoxycarbonyl)ethyl groups, 1-(1-ethyl-2-methylpropoxycarbonyl)ethyl groups,
1-(1,1,2-trimethylpropoxycarbonyl)ethyl groups,

[0041]

1-(1,2,2-trimethylpropoxycarbonyl)ethyl groups, 1-cyclohexyloxycarbonylethyl groups,
2-methoxycarbonylethyl groups, 2-ethoxycarbonylethyl groups, 2-propoxycarbonylethyl groups,
2-cyclopropoxycarbonylethyl groups, 2-isopropoxycarbonylethyl groups, 2-butoxycarbonylethyl groups,
2-isobutoxycarbonylethyl groups, 2-sec-butoxycarbonylethyl groups, 2-tert-butoxycarbonylethyl groups,
2-cyclobutoxycarbonylethyl groups, 2-pentyloxycarbonylethyl groups, 2-(1-methylbutoxycarbonyl)ethyl
groups, 2-(2-methylbutoxycarbonyl)ethyl groups, 2-isopentyloxycarbonylethyl groups,
2-tert-pentyloxycarbonylethyl groups, 2-(1,2-dimethylpropoxycarbonyl)ethyl groups,
2-neopentyloxycarbonylethyl groups, 2-(1-ethylpropoxycarbonyl)ethyl groups,
2-cyclopentyloxycarbonylethyl groups, 2-hexyloxycarbonylethyl groups,
2-(1-methylpentyloxycarbonyl)ethyl groups, 2-(2-methylpentyloxycarbonyl)ethyl groups,
2-(3-methylpentyloxycarbonyl)ethyl groups, 2-isohexyloxycarbonylethyl groups,
2-(1-ethylbutoxycarbonyl)ethyl groups, 2-(2-ethylbutoxycarbonyl)ethyl groups,
2-(1,1-dimethylbutoxycarbonyl)ethyl groups, 2-(1,2-dimethylbutoxycarbonyl)ethyl groups,
2-(1,3-dimethylbutoxycarbonyl)ethyl groups, 2-(2,2-dimethylbutoxycarbonyl)ethyl groups,
2-(2,3-dimethylbutoxycarbonyl)ethyl groups, 2-(3,3-dimethylbutoxycarbonyl)ethyl groups,
2-(1-methyl-1-ethylpropoxycarbonyl)ethyl groups, 2-(1-ethyl-2-methylpropoxycarbonyl)ethyl groups,
2-(1,1,2-trimethylpropoxycarbonyl)ethyl groups, 2-(1,2,2-trimethylpropoxycarbonyl)ethyl groups,

2-cyclohexyloxy-carbonyl-ethyl groups, 1-methoxy-carbonyl-propyl groups, 1-ethoxy-carbonyl-propyl groups, 1-propoxy-carbonyl-propyl groups, 1-cyclopropoxy-carbonyl-propyl groups, 1-isopropoxy-carbonyl-propyl groups, 1-butoxy-carbonyl-propyl groups, 1-isobutoxy-carbonyl-propyl groups, 1-sec-butoxy-carbonyl-propyl groups, 1-tert-butoxy-carbonyl-propyl groups, 1-cyclobutoxy-carbonyl-propyl groups, 1-pentyloxy-carbonyl-propyl groups, 1-(1-methylbutoxy-carbonyl)-propyl groups, 1-(2-methylbutoxy-carbonyl)-propyl groups, 1-isopentyloxy-carbonyl-propyl groups, 1-tert-pentyloxy-carbonyl-propyl groups, 1-(1,2-dimethylpropoxy-carbonyl)-propyl groups,

[0042]

1-neopentyloxy-carbonyl-propyl groups, 1-(1-ethylpropoxy-carbonyl)-propyl groups, 1-cyclopentyloxy-carbonyl-propyl groups, 1-hexyloxy-carbonyl-propyl groups, 1-(1-methylpentyloxy-carbonyl)-propyl groups, 1-(2-methylpentyloxy-carbonyl)-propyl groups, 1-(3-methylpentyloxy-carbonyl)-propyl groups, 1-iso-hexyloxy-carbonyl-propyl groups, 1-(1-ethylbutoxy-carbonyl)-propyl groups, 1-(2-ethylbutoxy-carbonyl)-propyl groups, 1-(1,1-dimethylbutoxy-carbonyl)-propyl groups, 1-(1,2-dimethylbutoxy-carbonyl)-propyl groups, 1-(1,3-dimethylbutoxy-carbonyl)-propyl groups, 1-(2,2-dimethylbutoxy-carbonyl)-propyl groups, 1-(2,3-dimethylbutoxy-carbonyl)-propyl groups, 1-(3,3-dimethylbutoxy-carbonyl)-propyl groups, 1-(1-methyl-1-ethylpropoxy-carbonyl)-propyl groups, 1-(1-ethyl-2-methylpropoxy-carbonyl)-propyl groups, 1-(1,1,2-trimethylpropoxy-carbonyl)-propyl groups, 1-(1,2,2-trimethylpropoxy-carbonyl)-propyl groups, 1-cyclohexyloxy-carbonyl-propyl groups, 2-methoxy-carbonyl-propyl groups, 2-ethoxy-carbonyl-propyl groups, 2-propoxy-carbonyl-propyl groups, 2-cyclopropoxy-carbonyl-propyl groups, 2-isopropoxy-carbonyl-propyl groups, 2-butoxy-carbonyl-propyl groups, 2-isobutoxy-carbonyl-propyl groups, 2-sec-butoxy-carbonyl-propyl groups, 2-tert-butoxy-carbonyl-propyl groups, 2-cyclobutoxy-carbonyl-propyl

groups, 2-pentyloxy carbonylpropyl groups, 2-(1-methylbutoxy carbonyl)propyl groups,
 2-(2-methylbutoxy carbonyl)propyl groups, 2-isopentyloxy carbonylpropyl groups,
 2-tert-pentyloxy carbonylpropyl groups, 2-(1,2-dimethylpropoxy carbonyl)propyl groups,
 2-neopentyloxy carbonylpropyl groups, 2-(1-ethylpropoxy carbonyl)propyl groups,
 2-cyclopentyloxy carbonylpropyl groups, 2-hexyloxy carbonylpropyl groups, 2-(1-methylpentyloxy
 carbonyl)propyl groups, 2-(2-methylpentyloxy carbonyl)propyl groups,
 2-(3-methylpentyloxy carbonyl)propyl groups, 2-isohexyloxy carbonylpropyl groups,
 2-(1-ethylbutoxy carbonyl)propyl groups, 2-(2-ethylbutoxy carbonyl)propyl groups,
 2-(1,1-dimethylbutoxy carbonyl)propyl groups, 2-(1,2-dimethylbutoxy carbonyl)propyl groups,
 2-(1,3-dimethylbutoxy carbonyl)propyl groups, 2-(2,2-dimethylbutoxy carbonyl)propyl groups,
 2-(2,3-dimethylbutoxy carbonyl)propyl groups, 2-(3,3-dimethylbutoxy carbonyl)propyl groups,
 2-(1-methyl-1-ethylpropoxy carbonyl)propyl groups, 2-(1-ethyl-2-methylpropoxy carbonyl)propyl groups,
 2-(1,1,2-trimethylpropoxy carbonyl)propyl groups, 2-(1-2,2-trimethylpropoxy carbonyl)propyl groups,

/16

[0043]

2-cyclohexyloxy carbonylpropyl groups, 3-methoxy carbonylpropyl groups, 3-ethoxy carbonylpropyl
 groups, 3-propoxy carbonyl groups, 3-cyclopropoxy carbonylpropyl groups, 3-isopropoxy carbonylpropyl
 groups, 3-butoxy carbonylpropyl groups, 3-isobutoxy carbonylpropyl groups, 3-sec-butoxy carbonylpropyl
 groups, 3-tert-butoxy carbonylpropyl groups, 3-cyclobutoxy carbonylpropyl groups,
 3-pentyloxy carbonylpropyl groups, 3-(1-methylbutoxy carbonyl)propyl groups,
 3-(2-methylbutoxy carbonyl)propyl groups, 3-isopentyloxy carbonylpropyl groups,
 3-tert-pentyloxy carbonylpropyl groups, 3-(1,2-dimethylpropoxy carbonyl)propyl groups,
 3-neopentyloxy carbonylpropyl groups, 3-(1-ethylpropoxy carbonyl)propyl groups,

3-cyclopentyloxy carbonyl propyl groups, 3-hexyloxy carbonyl propyl groups,
 3-(1-methylpentyloxy carbonyl) propyl groups, 3-(2-methylpentyloxy carbonyl) propyl groups,
 3-(3-methylpentyloxy carbonyl) propyl groups, 3-isohexyloxy carbonyl propyl groups,
 3-(1-ethylbutoxy carbonyl) propyl groups, 3-(2-ethylbutoxy carbonyl) propyl groups,
 3-(1,1-dimethylbutoxy carbonyl) propyl groups, 3-(1,2-dimethylbutoxy carbonyl) propyl groups,
 3-(1,3-dimethylbutoxy carbonyl) propyl groups, 3-(2,2-dimethylbutoxy carbonyl) propyl groups,
 3-(2,3-dimethylbutoxy carbonyl) propyl groups, 3-(3,3-dimethylbutoxy carbonyl) propyl groups,
 3-(1-methyl-1-ethylpropoxy carbonyl) propyl groups, 3-(1-ethyl-2-methylpropoxy carbonyl) propyl groups,
 3-(1,1,2-trimethylpropoxy carbonyl) propyl groups, 3-(1,2,2-trimethylpropoxy carbonyl) propyl groups,
 3-cyclohexyloxy carbonyl propyl groups, 1-methoxy carbonyl butyl groups, 1-ethoxy carbonyl butyl groups,
 1-propoxy carbonyl butyl groups, 1-cyclopropoxy carbonyl butyl groups, 1-isopropoxy carbonyl butyl
 groups, 1-butoxy carbonyl butyl groups, 1-isobutoxy carbonyl butyl groups, 1-sec-butoxy carbonyl butyl
 groups, 1-tert-butoxy carbonyl butyl groups, 1-cyclobutoxy carbonyl butyl groups,
 1-pentyloxy carbonyl butyl groups, 1-(1-methylbutoxy carbonyl) butyl groups,
 1-(2-methylbutoxy carbonyl) butyl groups, 1-isopentyloxy carbonyl butyl groups,
 1-tert-pentyloxy carbonyl butyl groups, 1-(1,2-dimethylpropoxy carbonyl) butyl groups,
 1-neopentyloxy carbonyl butyl groups, 1-(1-ethylpropoxy carbonyl) butyl groups,
 1-cyclopentyloxy carbonyl butyl groups, 1-hexyloxy carbonyl butyl groups,
 1-(1-methylpentyloxy carbonyl) butyl groups, 1-(2-methylpentyloxy carbonyl) butyl groups,
 1-(3-methylpentyloxy carbonyl) butyl groups, 1-isohexyloxy carbonyl butyl groups,
 1-(1-ethylbutoxy carbonyl) butyl groups, 1-(2-ethylbutoxy carbonyl) butyl groups,
 1-(1,1-dimethylbutoxy carbonyl) butyl groups, 1-(1,2-dimethylbutoxy carbonyl) butyl groups,
 1-(1,3-dimethylbutoxy carbonyl) butyl groups, 1-(2,2-dimethylbutoxy carbonyl) butyl groups,

[0044]

1-(2,3-dimethylbutoxycarbonyl)butyl groups, 1-(3,3-dimethylbutoxycarbonyl)butyl groups,
1-(1-methyl-1-ethylpropoxycarbonyl)butyl groups, 1-(1-ethyl-2-methylpropoxycarbonyl)butyl groups,
1-(1,1,2-trimethylpropoxycarbonyl)butyl groups, 1-(1,2,2-trimethylpropoxycarbonyl)butyl groups,
1-cyclohexyloxycarbonylbutyl groups, 2-methoxycarbonylbutyl groups, 2-ethoxycarbonylbutyl groups,
2-propoxycarbonylbutyl groups, 2-cyclopropoxycarbonylbutyl groups, 2-isopropoxycarbonylbutyl
groups, 2-butoxycarbonylbutyl groups, 2-isobutoxycarbonylbutyl groups, 2-sec-butoxycarbonylbutyl
groups, 2-tert-butoxycarbonylbutyl groups, 2-cyclobutoxycarbonylbutyl groups,
2-pentyloxycarbonylbutyl groups, 2-(1-methylbutoxycarbonyl)butyl groups,
2-(2-methylbutoxycarbonyl)butyl groups, 2-isopentyloxycarbonylbutyl groups,
2-tert-pentyloxycarbonylbutyl groups, 2-(1,2-dimethylpropoxycarbonyl)butyl groups,
2-neopentyloxycarbonylbutyl groups, 2-(1-ethylpropoxycarbonyl)butyl groups,
2-cyclopentyloxycarbonylbutyl groups, 2-hexyloxycarbonylbutyl groups,
2-(1-methylpentyloxycarbonyl)butyl groups, 2-(2-methylpentyloxycarbonyl)butyl groups,
2-(3-methylpentyloxycarbonyl)butyl groups, 2-isohexyloxycarbonylbutyl groups,
2-(1-ethylbutoxycarbonyl)butyl groups, 2-(2-ethylbutoxycarbonyl)butyl groups,
2-(1,1-dimethylbutoxycarbonyl)butyl groups, 2-(1,2-dimethylbutoxycarbonyl)butyl groups,
2-(1,3-dimethylbutoxycarbonyl)butyl groups, 2-(2,2-dimethylbutoxycarbonyl)butyl groups,
2-(2,3-dimethylbutoxycarbonyl)butyl groups, 2-(3,3-dimethylbutoxycarbonyl)butyl groups,
2-(1-methyl-1-ethylpropoxycarbonyl)butyl groups, 2-(1-ethyl-2-methylpropoxycarbonyl)butyl groups,
1-(1,1,2-trimethylpropoxycarbonyl)butyl groups, 2-(1,2,2-trimethylpropoxycarbonyl)butyl groups,
2-cyclohexyloxycarbonylbutyl groups, 3-methoxycarbonylbutyl groups, 3-ethoxycarbonylbutyl groups,

/17

3-propoxycarbonylbutyl groups, 3-cyclopropoxycarbonylbutyl groups, 3-isopropoxycarbonylbutyl groups, 3-butoxycarbonylbutyl groups, 3-isobutoxycarbonylbutyl groups, 3-sec-butoxycarbonylbutyl groups, 3-tert-butoxycarbonylbutyl groups, 3-cyclobutoxycarbonylbutyl groups, 3-pentyloxycarbonylbutyl groups, 3-(1-methylbutoxycarbonyl)butyl groups,

[0045]

3-(2-methylbutoxycarbonyl)butyl groups, 3-isopentyloxycarbonylbutyl groups, 3-tert-pentyloxycarbonylbutyl groups, 3-(1,2-dimethylpropoxycarbonyl)butyl groups, 3-neopentyloxycarbonylbutyl groups, 3-(1-ethylpropoxycarbonyl)butyl groups, 3-cyclopentyloxycarbonylbutyl groups, 3-hexyloxycarbonylbutyl groups, 3-(1-methylpentyloxycarbonyl)butyl groups, 3-(2-methylpentyloxycarbonyl)butyl groups, 3-(3-methylpentyloxycarbonyl)butyl groups, 3-isohexyloxycarbonylbutyl groups, 3-(1-ethylbutoxycarbonyl)butyl groups, 3-(2-ethylbutoxycarbonyl)butyl groups, 3-(1,1-dimethylbutoxycarbonyl)butyl groups, 3-(1,2-dimethylbutoxycarbonyl)butyl groups, 3-(1,3-dimethylbutoxycarbonyl)butyl groups, 3-(2,2-dimethylbutoxycarbonyl)butyl groups, 3-(2,3-dimethylbutoxycarbonyl)butyl groups, 3-(3,3-dimethylbutoxycarbonyl)butyl groups, 3-(1-methyl-1-ethylpropoxycarbonyl)butyl groups, 3-(1-ethyl-2-methylpropoxycarbonyl)butyl groups, 3-(1,1,2-trimethylpropoxycarbonyl)butyl groups, 3-(1,2,2-trimethylpropoxycarbonyl)butyl groups, 3-cyclohexyloxycarbonylbutyl groups, 4-methoxycarbonylbutyl groups, 4-ethoxycarbonylbutyl groups, 4-propoxycarbonylbutyl groups, 4-cyclopropoxycarbonylbutyl groups, 4-isopropoxycarbonylbutyl groups, 4-butoxycarbonylbutyl groups, 4-isobutoxycarbonylbutyl groups, 4-sec-butoxycarbonylbutyl groups, 4-tert-butoxycarbonylbutyl groups, 4-cyclobutoxycarbonylbutyl groups, 4-pentyloxycarbonylbutyl groups, 4-(1-methylbutoxycarbonyl)butyl groups,

4-(2-methylbutoxycarbonyl)butyl groups, 4-isopentyloxycarbonylbutyl groups,
 4-tert-pentyloxycarbonylbutyl groups, 4-(1,2-dimethylpropoxycarbonyl)butyl groups,
 4-neopentyloxycarbonylbutyl groups, 4-(1-ethylpropoxycarbonyl)butyl groups,
 4-cyclopentyloxycarbonylbutyl groups, 4-hexyloxycarbonylbutyl groups,
 4-(1-methylpentyloxycarbonyl)butyl groups, 4-(2-methylpentyloxycarbonyl)butyl groups,
 4-(3-methylpentyloxycarbonyl)butyl groups, 4-isohexyloxycarbonylbutyl groups,
 4-(1-ethylbutoxycarbonyl)butyl groups, 4-(2-ethylbutoxycarbonyl)butyl groups,
 4-(1,1-dimethylbutoxycarbonyl)butyl groups, 4-(1,2-dimethylbutoxycarbonyl)butyl groups,
 4-(1,3-dimethylbutoxycarbonyl)butyl groups, 4-(2,2-dimethylbutoxycarbonyl)butyl groups,
 4-(2,3-dimethylbutoxycarbonyl)butyl groups,

[0046]

4-(3,3-dimethylbutoxycarbonyl)butyl groups, 4-(1-methyl-1-ethylpropoxycarbonyl)butyl groups,
 4-(1-ethyl-2-methylpropoxycarbonyl)butyl groups, 4-(1,1,2-trimethylpropoxycarbonyl)butyl groups,
 4-(1,2,2-trimethylpropoxycarbonyl)butyl groups, 4-cyclohexyloxycarbonylbutyl groups,
 1-methoxycarbonylpentyl groups, 1-ethoxycarbonylpentyl groups, 1-propoxycarbonylpentyl groups,
 1-cyclopropoxycarbonylpentyl groups, 1-isopropoxycarbonylpentyl groups, 1-butoxycarbonylpentyl
 groups, 1-isobutoxycarbonylpentyl groups, 1-sec-butoxycarbonylpentyl groups,
 1-tert-butoxycarbonylpentyl groups, 1-cyclobutoxycarbonylpentyl groups, 1-pentyloxycarbonylpentyl
 groups, 1-(1-methylbutoxycarbonyl)pentyl groups, 1-(2-methylbutoxycarbonyl)pentyl groups,
 1-isopentyloxycarbonylpentyl groups, 1-tert-pentyloxycarbonylpentyl groups,
 1-(1,2-dimethylpropoxycarbonyl)pentyl groups, 1-neopentyloxycarbonylpentyl groups,
 1-(1-ethylpropoxycarbonyl)pentyl groups, 1-cyclopentyloxycarbonylpentyl groups,

/18

1-hexyloxy carbonylpentyl groups, 1-(1-methylpentyloxy carbonyl)pentyl groups,
1-(2-methylpentyloxy carbonyl)pentyl groups, 1-(3-methylpentyloxy carbonyl)pentyl groups,
1-isohexyloxy carbonylpentyl groups, 1-(1-ethylbutoxy carbonyl)pentyl groups,
1-(2-ethylbutoxy carbonyl)pentyl groups, 1-(1,1-dimethylbutoxy carbonyl)pentyl groups,
1-(1,2-dimethylbutoxy carbonyl)pentyl groups, 1-(1,3-dimethylbutoxy carbonyl)pentyl groups,
1-(2,2-dimethylbutoxy carbonyl)pentyl groups, 1-(2,3-dimethylbutoxy carbonyl)pentyl groups,
1-(3,3-dimethylbutoxy carbonyl)pentyl groups, 1-(1-methyl-1-ethylpropoxy carbonyl)pentyl groups,
1-(1-ethyl-2-methylpropoxy carbonyl)pentyl groups, 1-(1,1,2-trimethylpropoxy carbonyl)pentyl groups,
1-(1,2,2-trimethylpropoxy carbonyl)pentyl groups, 1-cyclohexyloxy carbonylpentyl groups,
2-methoxy carbonylpentyl groups, 2-ethoxy carbonylpentyl groups,

[0047]

2-propoxy carbonylpentyl groups, 2-cyclopropoxy carbonylpentyl groups, 2-isopropoxy carbonylpentyl groups,
2-butoxy carbonylpentyl groups, 2-isobutoxy carbonylpentyl groups, 2-sec-butoxy carbonylpentyl groups,
2-tert-butoxy carbonylpentyl groups, 2-cyclobutoxy carbonylpentyl groups,
2-pentyloxy carbonylpentyl groups, 2-(1-methylbutoxy carbonyl)pentyl groups,
2-(2-methylbutoxy carbonyl)pentyl groups, 2-isopentyloxy carbonylpentyl groups,
2-tert-pentyloxy carbonylpentyl groups, 2-(1,2-dimethylpropoxy carbonyl)pentyl groups,
2-neopentyloxy carbonylpentyl groups, 2-(1-ethylpropoxy carbonyl)pentyl groups,
2-cyclopentyloxy carbonylpentyl groups, 2-hexyloxy carbonylpentyl groups,
2-(1-methylpentyloxy carbonyl)pentyl groups, 2-(2-methylpentyloxy carbonyl)pentyl groups,
2-(3-methylpentyloxy carbonyl)pentyl groups, 2-isohexyloxy carbonylpentyl groups,
2-(1-ethylbutoxy carbonyl)pentyl groups, 2-(2-ethylbutoxy carbonyl)pentyl groups,

2-(1,1-dimethylbutoxycarbonyl)pentyl groups, 2-(1,2-dimethylbutoxycarbonyl)pentyl groups,
 2-(1,3-dimethylbutoxycarbonyl)pentyl groups, 2-(2,2-dimethylbutoxycarbonyl)pentyl groups,
 2-(2,3-dimethylbutoxycarbonyl)pentyl groups, 2-(3,3-dimethylbutoxycarbonyl)pentyl groups,
 2-(1-methyl-1-ethylpropoxycarbonyl)pentyl groups, 2-(1-ethyl-2-methylpropoxycarbonyl)pentyl groups,
 2-(1,1,2-trimethylpropoxycarbonyl)pentyl groups, 2-(1,2,2-trimethylpropoxycarbonyl)pentyl groups,
 2-cyclohexyloxycarbonylpentyl groups, 3-methoxycarbonylpentyl groups, 3-ethoxycarbonylpentyl
 groups, 3-propoxycarbonylpentyl groups, 3-cyclopropoxycarbonylpentyl groups,
 3-isopropoxycarbonylpentyl groups, 3-butoxycarbonylpentyl groups, 3-isobutoxycarbonylpentyl groups,
 3-sec-butoxycarbonylpentyl groups, 3-tert-butoxycarbonylpentyl groups, 3-cyclobutoxycarbonylpentyl
 groups, 3-pentyloxycarbonylpentyl groups, 3-(1-methylbutoxycarbonyl)pentyl groups,
 3-(2-methylbutoxycarbonyl)pentyl groups, 3-isopentyloxycarbonylpentyl groups,
 3-tert-pentyloxycarbonylpentyl groups, 3-(1,2-dimethylpropoxycarbonyl)pentyl groups,
 3-neopentyloxycarbonylpentyl groups, 3-(1-ethylpropoxycarbonyl)pentyl groups,
 3-cyclopentyloxycarbonylpentyl groups, 3-hexyloxycarbonylpentyl groups,

[0048]

3-(1-methylpentyloxycarbonyl)pentyl groups, 3-(2-methylpentyloxycarbonyl)pentyl groups,
 3-(3-methylpentyloxycarbonyl)pentyl groups, 3-isohexyloxycarbonylpentyl groups,
 3-(1-ethylbutoxycarbonyl)pentyl groups, 3-(2-ethylbutoxycarbonyl)pentyl groups,
 3-(1,1-dimethylbutoxycarbonyl)pentyl groups, 3-(1,2-dimethylbutoxycarbonyl)pentyl groups,
 3-(1,3-dimethylbutoxycarbonyl)pentyl groups, 3-(2,2-dimethylbutoxycarbonyl)pentyl groups,
 3-(2,3-dimethylbutoxycarbonyl)pentyl groups, 3-(3,3-dimethylbutoxycarbonyl)pentyl groups,
 3-(1-methyl-1-ethylpropoxycarbonyl)pentyl groups, 3-(1-ethyl-2-methylpropoxycarbonyl)pentyl groups,

3-(1,1,2-trimethylpropoxycarbonyl)pentyl groups, 3-(1,2,2-trimethylpropoxycarbonyl)pentyl groups, 3-cyclohexyloxy carbonyl pentyl groups, 4-methoxycarbonyl pentyl groups, 4-ethoxycarbonyl pentyl groups, 4-propoxycarbonyl pentyl groups, 4-cyclopropoxycarbonyl pentyl groups, 4-isopropoxycarbonyl pentyl groups, 4-butoxycarbonyl pentyl groups, 4-isobutoxycarbonyl pentyl groups, 4-sec-butoxycarbonyl pentyl groups, 4-tert-butoxycarbonyl pentyl groups, 4-cyclobutoxycarbonyl pentyl groups, 4-pentyloxy carbonyl pentyl groups, 4-(1-methylbutoxycarbonyl)pentyl groups, 4-(2-methylbutoxycarbonyl)pentyl groups, 4-isopentyloxy carbonyl pentyl groups, 4-tert-pentyloxy carbonyl pentyl groups, 4-(1,2-dimethylpropoxycarbonyl)pentyl groups, 4-neopentyloxy carbonyl pentyl groups, 4-(1-ethylpropoxycarbonyl)pentyl groups, 4-cyclopentyloxy carbonyl pentyl groups, 4-hexyloxy carbonyl pentyl groups, 4-(1-methylpentyloxy carbonyl)pentyl groups, 4-(2-methylpentyloxy carbonyl)pentyl groups, 4-(3-methylpentyloxy carbonyl)pentyl groups, 4-iso-hexyloxy carbonyl pentyl groups, 4-(1-ethylbutoxycarbonyl)pentyl groups, 4-(2-ethylbutoxycarbonyl)pentyl groups, 4-(1,1-dimethylbutoxycarbonyl)pentyl groups, 4-(1,2-dimethylbutoxycarbonyl)pentyl groups, 4-(1,3-dimethylbutoxycarbonyl)pentyl groups, 4-(2,2-dimethylbutoxycarbonyl)pentyl groups, 4-(2,3-dimethylbutoxycarbonyl)pentyl groups, 4-(3,3-dimethylbutoxycarbonyl)pentyl groups, 4-(1-methyl-1-ethylpropoxy carbonyl)pentyl groups, 4-(1-ethyl-2-methylpropoxycarbonyl)pentyl groups, 4-(1,1,2-trimethylpropoxycarbonyl)pentyl groups, 4-(1,2,2-trimethylpropoxycarbonyl)pentyl groups, 4-cyclohexyloxy carbonyl pentyl groups, 5-methoxycarbonyl pentyl groups, 5-ethoxycarbonyl pentyl groups, 5-propoxycarbonyl pentyl groups, 5-cyclopropoxycarbonyl pentyl groups, 5-isopropoxycarbonyl pentyl groups, 5-butoxycarbonyl pentyl groups, 5-isobutoxycarbonyl pentyl groups, 5-sec-butoxycarbonyl pentyl groups, 5-tert-butoxycarbonyl pentyl groups, 5-cyclobutoxycarbonyl pentyl groups, 5-pentyloxy carbonyl pentyl groups,

/19

[0049]

5-(1-methylbutoxycarbonyl)pentyl groups, 5-(2-methylbutoxycarbonyl)pentyl groups, 5-isopentyloxycarbonylpentyl groups, 5-tert-pentyloxycarbonylpentyl groups, 5-(1,2-dimethylpropoxycarbonyl)pentyl groups, 5-neopentyloxycarbonylpentyl groups, 5-(1-ethylpropoxycarbonyl)pentyl groups, 5-cyclopentyloxycarbonylpentyl groups, 5-hexyloxycarbonylpentyl groups, 5-(1-methylpentyloxycarbonyl)pentyl groups, 5-(2-methylpentyloxycarbonyl)pentyl groups, 5-(3-methylpentyloxycarbonyl)pentyl groups, 5-isohexyloxycarbonylpentyl groups, 5-(1-ethylbutoxycarbonyl)pentyl groups, 5-(2-ethylbutoxycarbonyl)pentyl groups, 5-(1,1-dimethylbutoxycarbonyl)pentyl groups, 5-(1,2-dimethylbutoxycarbonyl)pentyl groups, 5-(1,3-dimethylbutoxycarbonyl)pentyl groups, 5-(2,2-dimethylbutoxycarbonyl)pentyl groups, 5-(2,3-dimethylbutoxycarbonyl)pentyl groups, 5-(3,3-dimethylbutoxycarbonyl)pentyl groups, 5-(1-methyl-1-ethylpropoxycarbonyl)pentyl groups, 5-(1-ethyl-2-methylpropoxycarbonyl)pentyl groups, 5-(1,1,2-trimethylpropoxycarbonyl)pentyl groups, 5-(1,2,2-trimethylpropoxycarbonyl)pentyl groups, 5-cyclohexyloxycarbonylpentyl groups, etc. can be cited.

[0050]

"Nitrogen-containing saturated heterocyclic groups" refer to 5- to 7-membered saturated heterocyclic groups containing 1 or more nitrogen atoms in the ring system. 5- to 6-membered saturated heterocyclic groups having 1 or 2 nitrogen atoms and 0 or 1 oxygen atoms or sulfur atoms or substituents such as pyrrolidinyl groups, imidazolidinyl groups, pyrazolidinyl groups, oxazolidinyl groups, thiazolidinyl

groups, isoxazolidinyl groups, isothiazolidinyl groups piperidinyl groups, piperazinyl groups, morpholino groups, thiomorpholino groups, etc. are favorable.

[0051]

"Nitrogen-containing unsaturated heterocyclic groups" refer to 5- to 7-membered unsaturated heterocyclic groups containing 1 or more nitrogen atoms in the ring system. 5- to 6-membered unsaturated heterocyclic groups having 1 – 4 nitrogen atoms and 0 or 1 oxygen atoms or sulfur atoms or substituents such as pyrrolyl groups, imidazolyl groups, pyrazolyl groups, triazolyl groups, tetrazolyl groups, oxazolyl groups, thiazolyl groups, isooxazolyl groups, isothiazolyl groups, pyridyl groups, dihydropyridyl groups, tetrahydropyridyl groups, etc. are favorable.

[0052]

Compounds (I) of the present invention and production intermediates (II) of said compounds can be converted to salts by the usual methods. For salts of the compounds of the present invention and production intermediates of said compounds, for example, acid adduct salts with inorganic acids such as hydrochloride salts, sulfate salts, nitrate salts, phosphate salts, hydrobromate salts, or hydroiodate salts, or acid adduct salts with organic acids such as acetate salts, oxalate salts, malonate salts, succinate salts, hibenzate salts, maleate salts, fumarate salts, lactate salts, malate salts, citrate salts, tartarate salts, methanesulfonate salts, ethanesulfonate salts, etc. can be cited.

[0053]

Various kinds of solvation products such as hydrates of compounds (I) of the present invention and production intermediates (II) of said compounds are also included in the present invention.

/20

[0054]

Embodiments of the invention

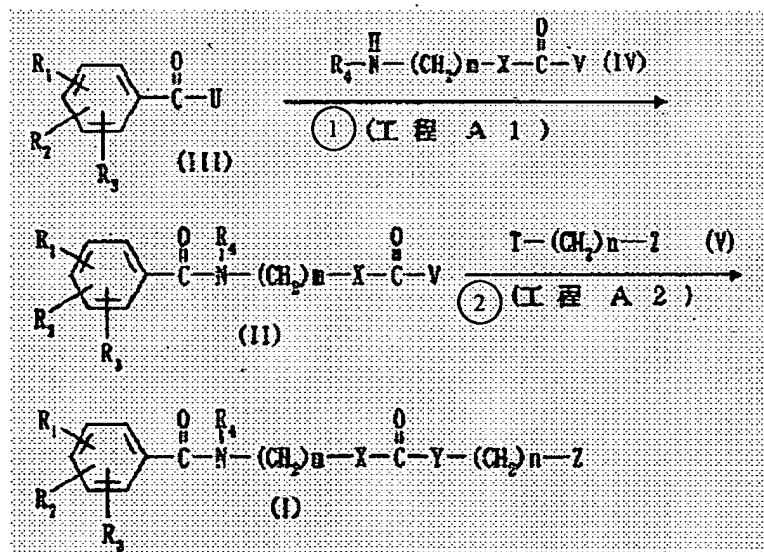
The compounds (I) of the present invention and production intermediates (II) of said compounds can be produced by applying various synthetic methods, taking their basic structure and groups into consideration. Typical production methods thereof (A and B) are given below. Here, the compounds of the present invention can be produced using either production method A or production method B or production methods derived from them.

[0055]

Production Method A.

[0056]

[Structure 9]



Key: 1 Process A1

[0057]

(in the formulas, R₁, R₂, R₃, R₄, m, n, V, X, Y, and Z indicate the same meanings as above. T indicates an R₉NH- or hydroxy group. U indicates a halogen atom, hydroxy group or lower alkoxy group. R₉ indicates a hydrogen atom or lower alkyl group.) Each process is explained below.

[0058]

Process A1

By reacting compounds represented by Formula (III) and compounds represented by Formula (IV), substituted benzamide derivatives (II) can be produced. The reaction is performed in the presence or absence of bases, for example, alkali metal carbonates such as potassium carbonate, potassium bicarbonate, sodium carbonate, or sodium bicarbonate, alkali metal hydroxides such as potassium hydroxide, sodium hydroxide or lithium hydroxide, alkylamines such as triethylamine or diisopropylethylamine, or pyridines such as pyridine, lutidine, or 4-dimethylaminopyridine, in solvents that do not affect the reaction like aprotic polar solvents such as acetonitrile, N,N-dimethylformamide, or dimethyl sulfoxide, halogen solvents such as methylene chloride, chloroform or 1,2-dichloroethane, ether solvents such as ether, tetrahydrofuran or dioxane, or benzene solvents such as toluene, or without solvent. The reaction can normally be performed at room temperature or with heating. When U of Compound (III) is a hydroxy group, this reaction can be performed using a condensing agent such as dicyclohexylcarbodiimide or carbonyldiimidazole, or after converting it to a substituting group of high reactivity such as a p-nitrophenoxy group or halogen atom by the usual methods.

[0059]

When any of R₁, R₂ or R₃ of the substituted benzamide derivatives (II) or compounds (I) of the present invention is an acyl group such as a lower alkylcarbonyl group optionally substituted with a halogen atom, it is preferable that they are produced by performing this reaction after protecting the acyl group of compound (III) and performing protection removal after this reaction or after the reaction in Process A2.

When any of R₁, R₂ or R₃ of the substituted benzamide derivatives (II) or compounds (I) of the present invention is an amino group, mono-lower alkylamino group, mono- or di-lower alkylaminoalkylamino group or 1-ureido group, they can be produced by performing this reaction after protecting the amino group portion of compound (III) and performing protection removal after this reaction or after the reaction in Process A2, or can be produced by performing this reaction using compounds (III) having nitro group(s) and converting the nitro group(s) to amino group(s) after this reaction or after the reaction in Process A2 by performing a reduction reaction. Moreover, when any of R₁, R₂ or R₃ of the substituted benzamide derivatives (II) or compounds (I) of the present invention is a hydroxy group, it is also possible not to use compounds having hydroxy groups in compound (III) but instead compounds (III) having alkoxy groups. When these compounds are used, production can be carried out by converting the alkoxy group(s) to hydroxy group(s) by a de-alkylation reaction using pyridine hydrochloride, boron tribromide, hydrobromate acetate solution, or contact reduction after this reaction or after the reaction in Process A2.

When any of R₁, R₂ or R₃ of the substituted benzamide derivative (II) or compounds (I) of the present invention

/21

is a lower alkylcarbonyloxy group, they can be produced by allowing carboxylic acids or their reactive derivatives to act on substituted benzamide derivatives (II) or compounds (I) of the present invention obtained above wherein any of R₁, R₂ or R₃ is a hydroxy group. When any of R₁, R₂ or R₃ of the substituted benzamide derivatives (II) or compounds (I) of the present invention is a halogen atom, hydroxy group or

nitro group, it is also possible to allow a nitrous acid salt and strong acid to act on compounds (III) having amino group(s) to form diazonium salts and converting into various substituting groups by substitution reactions of these diazonium salts (Sandmeyer's method, Guttermann reaction, Seaman reaction). This procedure can be performed after this reaction or after the reaction in Process A2.

[0060]

Process A2

By reacting the substituted benzamide derivative (II) obtained in Process A1 with compound (V), or when necessary, by also performing an N-substitution reaction, compound (I) of the present invention can be obtained. The reaction is performed in the same manner as Process A1. When V of the substituted benzamide derivative (II) is a hydroxy group, this reaction can be performed using a condensing agent such as dicyclohexylcarbodiimide or carbonyldiimidazole, or after converting V to a substituting group of high reactivity such as a p-nitrophenoxy group or halogen atom by the usual methods.

[0061]

By further performing N-substitution reactions or O-substitution reactions on compounds (I) of the present invention, other compounds (I) of the present invention can be derived. For N-substitution reactions, well known methods such as mono-alkylation, di-alkylation and amidization can be cited. For example, these can be performed optionally by reactions using reducing agents such as formic acid or borohydride compounds together with aldehydes such as formaldehyde, acetaldehyde or glyoxal and anhydrides such as acetic anhydride, by reactions using carboxylic acids or their reactive derivatives, by reactions using alkyl halides, by reactions using compounds having leaving groups such as lower alkoxy groups, lower alkylthio groups, lower alkylsulfonyl groups or lower alkylsulfinyl groups, or halogen atoms,

by reduction reactions using borohydride compounds, etc. after reacting with aldehydes or ketones and forming into imines or hydrogenation using catalysts such as palladium carbon, etc., or by combinations of these reactions.

[0062]

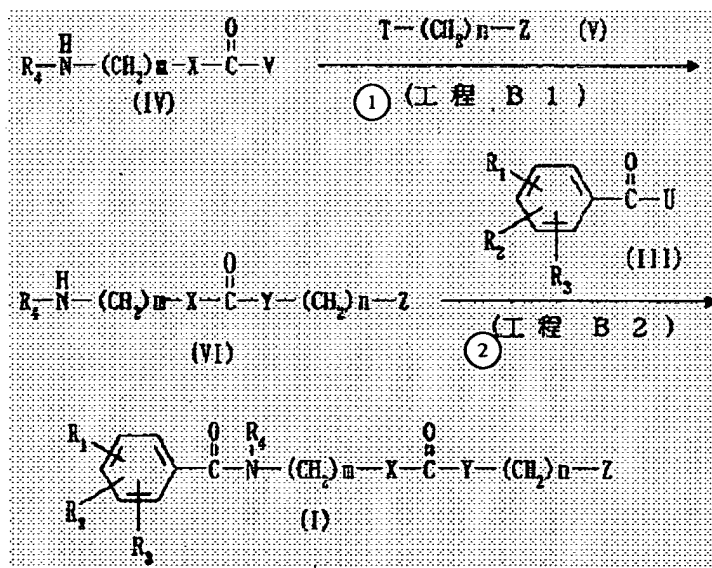
In addition to using products on the market, Compounds (III), (IV) and (V) can be produced when necessary by combining the above N-substitution reactions or O-substitution reactions.

[0063]

Production Method B

[0064]

[Structure 10]



Key: 1 Process B1

2 Process B2

[0065]

(in the formulas, R₁, R₂, R₃, R₄, m, n, T, U, V, X, Y, and Z indicate the same meanings as above.)

[0066]

Process B1

Compound (VI) can be produced by reacting Compound (IV) with Compound (V). The reaction is performed in the same manner as Process A2.

[0067]

Process B2

/22

By allowing compound (VI) and compound (III) obtained in Process B1 to react, compound (I) of the present invention can be derived. The reaction is performed in the same manner as Process A1. When any of R₁, R₂ or R₃ of compound (I) of the present invention is an acyl group such as a lower alkylcarbonyl group optionally substituted with a halogen atom, production is preferably carried out by performing this reaction after protecting the acyl group of compound (III) and performing protection removal after this reaction. When any of R₁, R₂ or R₃ of compound (I) of the present invention is an amino group, mono-lower alkylamino group, mono- or di-lower alkylamino alkylamino group, or 1-ureido group, production can be carried out by performing this reaction after protecting the amino group portion of compound (III) and performing protection removal after this reaction, or produced by performing this reaction using compound (III) having nitro group(s) and performing a reduction reaction after this reaction to convert the nitro groups to amino groups. When any of R₁, R₂ or R₃ of compound (I) of the present invention is a hydroxy group, compound (III) having alkoxy group(s) can be used without using

compounds having hydroxy groups in compound (III). When using these compounds, production can be carried out by de-alkylation using a pyridine hydrochloride, boron tribromate, hydrobromic acid or acetic acid solution, or contact reduction after this reaction to convert the alkoxy groups to hydroxy groups. When any of R₁, R₂ or R₃ of compound (I) of the present invention is a lower alkylcarbonyloxy group, production can be carried out by allowing carboxylic acids or their reactive derivatives to act on compound (I) of the present invention obtained above wherein any of R₁, R₂ or R₃ is a hydroxy group. When any of R₁, R₂ or R₃ of compound (I) of the present invention is a halogen atom, hydroxy group or nitro group, a nitrite salt and a strong acid can be allowed to act on compound (III) having amino group(s) to form diazonium salts which can be converted to various substituents by substitution reactions of these diazonium salts (Sandmeyer's method, Guttermann reaction, Seaman reaction). This procedure can be performed after this reaction.

[0068]

By performing N-substitution reactions or O-substitution reaction on compound (I) of the present invention, other compounds (I) of the present invention can be derived. For N-substitution reactions, well known methods such as mono-alkylation, di-alkylation, and amidization can be cited. This can be performed by, for example, reactions using reducing agents such as formic acid or borohydride compounds together with aldehydes such as formaldehyde, acetaldehyde or glyoxal, and acid anhydrides such as acetic anhydride, reactions using carboxylic acids or their reactive derivatives, reactions using alkyl halides, reactions using compounds having leaving groups such as lower alkoxy groups, lower alkylthio groups, lower alkylsulfonyl groups or lower alkylsulfinyl groups or halogen atoms, reduction reactions using borohydride compounds or hydrogenation reactions using palladium carbon, etc. as catalyst after imines are formed by allowing aldehydes or ketones to act, or combinations of these

reactions as appropriate. When alkyl halides substituted with phthalimide groups are used in N-substitution reactions using alkyl halides, after converting the phthalimide group to an amino group using a base such as methylamine (Gabriel method), it is possible to perform further N-substitution reactions of this amino group. For O-substitution reactions, well known methods such as alkylation and acylation can be cited. Reactions using carboxylic acids or their reactive derivatives, reactions using alkyl halides, or combinations of these reactions can be performed as appropriate.

[0069]

In addition to using commercially available products for compounds (III), (IV) and (V), they can be produced by combining the above N-substitution reactions or O-substitution reactions.

[0070]

Compounds (I) of the present invention obtained using either the above Production Method A or Production Method B or production methods that follow these can be made into various salts by the usual methods.

[0071]

Because compounds (I) of the present invention thus obtained have excellent peristalsis-improving effects and are highly safe as described below, they are useful for preventing/treating various peristalsis problems such as epigastric instability, nausea, vomiting, heartburn, loss of appetite, abdominal pain, feeling of abdominal bloating, chronic gastritis, reflux esophagitis, post-gastrectomy syndrome, etc.

[0072]

Compounds (I) of the present invention can be blended with pharmaceutically acceptable adjuvants and made into preparations for oral administration or parenteral administration. As preparations for oral administration, compounds (I) of the present invention can be made into tablets, powders, granules or capsules by using appropriate additives, for example, excipients such as lactose, mannitol, corn starch, or crystalline cellulose, binders such as cellulose derivatives, gum arabic or gelatin, collapsing agents such as carboxymethylcellulose calcium, and slipping agents such as talc or magnesium stearate as desired. These solid preparations can be made into intestine-soluble preparations using coating bases such as hydroxypropylmethylcellulose phthalate, hydroxypropylmethylcellulose acetate succinate, cellulose acetate phthalate, methacrylate copolymer, etc. As preparations for parenteral administration, they can be made into solutions for injection by combining with water, ethanol, glycerin, and common surfactants or into suppositories using suppository bases.

[0073]

The dosage of compounds (I) of the present invention varies according to age, weight, symptom, therapeutic effect, administration method, and administration period. But normally, oral administration is 1-3 times per day in a dosage range of 0.1-2000 mg/day, preferably 1-300 mg/day.

[0074]

Effects

/23

Perestalsis-promoting effects

Force transducers (F-121S; made by Star Medical) were chronically sutured to the pre-pyloric fundus and duodenum of male dogs (9-10 kg weight) (Itoh Z. et al., Am. J. Dig. Dis. 22, 117-124, 1977). Tests

were performed in the post-prandial period 2 h after feeding (30 g/kg, Gaines meal; made by Ajinomoto General Foods). Contraction signals obtained from the various transducers were amplified (RTA-1200; made by Nippon Kodon) and recorded on a recorder and computer. Areas obtained from contraction waves and contraction baseline at the pre-pyloric fundus were integrated by an analysis program (DSSFFT, V. 21; made by Nippon Kodon) and peristalsis coefficients were calculated. Test drugs were solubilized in physiological saline and administered intravenously. The results were calculated by the following formula and are shown in Table 1 as peristalsis coefficient rates.

[0075]

Number 1

Peristalsis coefficient rate (%) =

$$\left[\frac{\text{peristalsis coeff. 10 min after giving drug}}{\text{peristalsis coeff. 10 min before giving drug}} \right] \times 100$$

[0076]

TABLE 1

		②	③
①	試験化合物	投与量 (mg/kg)	蠕動係数率 (%)
④	実施例 2 の化合物	0.5	209.9
	実施例 9 の化合物	1	141.4
	実施例 20 の化合物	1	191.0
	実施例 22 の化合物	1	175.3

Key: 1 Test compound

2 Dose (mg/kg)

3 Peristalsis coefficient rate (%)

4 Compound of Application Example ____

[0077]

Toxicity test

3 animals per group of 4-5 week old ICR mice were used. After suspending the compound of each application example in a 5% gum arabic solution, 500 mg/kg each were given orally followed by observation for 1 week; no deaths were seen in any of the dosed groups.

[0078]

Application examples

The present invention is explained more concretely by application examples. However, they do not limit the present invention.

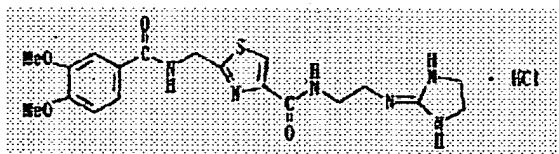
[0079]

Application Example 1

2-[N-(3,4-dimethoxybenzoyl)aminomethyl]-4-[[2-[(2-imidazolidinidene)imino]ethyl]aminocarbonyl]-1,3-thiazole hydrochloride

[0080]

[Structure 11]



[0081]

Process 1

Production of 2-phthalimidomethyl-4-[(2-tert-butoxycarbonylaminoethyl)aminocarbonyl]-1,3-thiazole

[0082]

To 21.5 g of 2-phthalimidomethyl-4-hydroxycarbonyl-1,3-thiazole produced according to the method of Japanese Kokai Patent Application No. Sho 57 [1982]-91980, 350 mL of dry 1,2-dichloroethane, 66 mL of oxalyl chloride, and 1.0 mL of N,N-dimethylformamide were added, followed by stirring for 8 h at 80°C. The reaction solution was concentrated under reduced pressure. Toluene was added to the residue and after the solvent was removed under reduced pressure, the remainder was solubilized in 350 mL of dry 1,2-dichloroethane. To this solution, a solution in which 12.1 g of N-tert-butoxycarbonylthylenediamine had been solubilized in 100 mL of chloroform was added dropwise while cooling with ice. Then 10.5 mL of triethylamine were added, followed by stirring for 5 min. This reaction solution was rinsed successively with a saturated aqueous potassium hydrogen sulfate solution, saturated aqueous sodium bicarbonate solution, and saturated aqueous sodium chloride solution. After drying with anhydrous magnesium sulfate, the solvent was removed under reduced pressure. The residue obtained was purified by silica gel column chromatography (chloroform : methanol = 30 : 1) to obtain 32.0 g of the designated compound in the form of a brown oil. yield 100%.

¹H-NMR (CDCl₃) δ : 1.42(9H, s), 3.34(2H, q), 3.53(2H, q), 5.00(1H, brs), 5.15(2H, s), 7.50(1H, brs), 7.75~7.87(2H, m), 7.90~7.96(2H, m), 8.05(1H, s)
MS (FAB) m/z : 431 (MH⁺)

[0083]

Process 2

Production of

2-[N-(3,4-dimethoxybenzoyl)aminomethyl]-4-[2-(tert-butoxycarbonylaminoethyl)aminocarbonyl]-1,3-thiazole

[0084]

34.4 g of 2-phthalimidomethyl-4-[(2-tert-butoxycarbonylaminoethyl)aminocarbonyl]-1,3-thiazole were solubilized in 250 mL of a 30% methylamine-ethanol solution. After stirring for 10 h at room temperature, the reaction solution was concentrated under reduced pressure. 500 mL of methylene chloride were added to the residue and the deposited crystals were removed by filtration. The filtrate was rinsed successively with a saturated aqueous potassium carbonate solution and saturated aqueous sodium chloride solution. After drying with anhydrous magnesium sulfate, the solvent was removed under reduced pressure. The residue was solubilized in 350 mL of dry methylene chloride and while cooling with ice, 15 mL of triethylamine and 15.0 g of 3,4-dimethoxybenzoyl chloride were added, followed by stirring for 2 h at the same temperature. This reaction solution was rinsed successively with a saturated aqueous potassium hydrogen sulfate solution, saturated aqueous sodium bicarbonate solution and saturated aqueous sodium chloride solution. After drying with anhydrous sodium sulfate, the solvent was removed under reduced pressure. Purification was done by silica gel column chromatography (ethyl acetate) and 21.6 g of the designated compound were obtained in the form of an oil yield 62.4%.

/24

¹H-NMR (CDCl₃) δ: 1.40(9H, s), 3.35~3.48(2H, m), 3.50~3.60(2H, m), 3.93(3H, s), 3.94(3H, s), 4.86(2H, d), 5.15(1H, brs), 6.91(1H, d), 7.40~7.60(3H, m), 7.75(1H, t), 7.87(1H, brs)
MS (FAB) m/z: 465 (M⁺)

[0085]

Process 3

Production of

2-[N-(3,4-dimethoxybenzoyl)aminomethyl]-4-[[2-[(2-imidazolidinidene)imino]ethyl]aminocarbonyl]-1,3-thiazole hydrochloride

[0086]

21.6 g of

2-[N-(3,4-dimethoxybenzoyl)aminomethyl]-4-[[2-(tert-butoxycarbonylamino)ethyl]aminocarbonyl]-1,3-thiazole were solubilized in 80 mL of ethanol. 80 mL of a 4N hydrochloric acid-dioxane solution were added, followed by stirring for 1 h. After removing the deposited crystals by filtration, drying was carried out under reduced pressure. These crystals were solubilized in 240 mL of dry methanol. 6.26 g of 2-methylthioimidazole and 8.7 g of a 28% sodium methoxide-methanol solution were added. Heating/reflux was performed for 2 days. After the reaction solution was filtered with cerite and the filtrate was concentrated under reduced pressure, the residue was purified by silica gel column chromatography (NH silica gel DM-1020 (made by Fuji Silicia Co.), chloroform : methanol = 10 : 1) and 2-[N-(3,4-dimethoxybenzoyl)aminomethyl]-4-[[2-[(2-imidazolidinidene)imino]ethyl]aminocarbonyl]-1,3-thiazole was obtained. By adding a 4N hydrochloric acid-dioxane solution to this and forming the hydrochloride salt, 8.05 g of the amorphous designated compound were obtained. Yield 38.2%.

MS (FAB) m/z : 433 (M^+)
I.R. (KBr) cm^{-1} : 3250, 2900, 1680, 1650
 1H -NMR (DMSO- d_6) δ : 3.05~3.50 (5H, m), 3.57 (4H, s), 3.81 (3H, s), 3.82 (3H, s), 4.75 (2H, d), 7.05 (2H, d), 7.52~7.58 (2H, m), 8.16 (1H, s), 8.31 (1H, s), 8.50 (1H, br s), 9.45 (1H, brs)

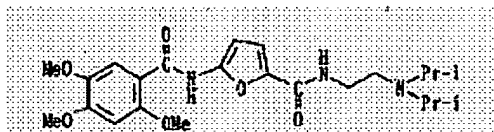
[0087]

Application Example 2

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan

[0088]

[Structure 12]



[0089]

Process 1

Production of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-(ethoxycarbonyl)furan

[0090]

To 100 mL of a dry methylene chloride solution of 9 g of 2-amino-5-(ethoxycarbonyl)furan, 6.07 g of triethylamine, 122 mg of 4-dimethylaminopyridine and 13.4 g of 2,4,5-trimethoxybenzoyl chloride were added successively while cooling with ice. Stirring was performed for 1 h at room temperature. After the reaction solution was successively rinsed with water and a saturated aqueous sodium chloride solution and dried with anhydrous sodium sulfate, it was purified by silica gel column chromatography (NH silica gel DM-1020 (made by Fuji Silicia Co.), chloroform). 11.7 g of the designated compound were obtained. Yield 61%.

Melting point: 212-214°C

MS (FAB) m/z : 350 (M⁺)
¹H-NMR (CDCl₃) δ : 1.38(3H, t), 3.92(3H, s), 3.98(3H, s), 4.08(3H, s), 4.36(2H, q), 6.57(1H, s), 6.68(1H, d), 7.23(1H, d), 7.75(1H, s), 10.42(1H, s)

[0091]

Process 2

Production of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-(hydroxycarbonyl)furan

[0092]

To a 50 mL methanol suspension of 5 g of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-(ethoxycarbonyl)furan, 50 mL of an aqueous solution of 2.86 g of sodium hydroxide were added and heated with reflux for 1 h. The reaction solution was concentrated under reduced pressure and 1N hydrochloric acid was added to the residue for a pH of 3. After extracting with a mixed chloroform-methanol (5 : 1) solvent, drying was done with anhydrous sodium sulfate. The solvent was removed under reduced pressure and 3.8 g of the designated compound were obtained.

IR (KBr) cm^{-1} : 3316, 1728, 1655, 1613, 1543, 1534, 1520
¹H-NMR (DMSO- d_6) δ : 3.76(3H, s), 3.89(3H, s), 3.99(3H, s), 6.50(1H, d), 6.81(1H, s), 7.25(1H, d), 7.37(1H, s), 10.78(1H, s), 12.77(1H, brs)

[0093]

Process 3

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan

[0094]

To 50 mL of a dry N,N-dimethylformamide suspension of 1.9 g of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-(hydroxycarbonyl)furan, 1.16 g of 1,1'-carbonyldiimidazole were added, followed by stirring for 30 min. Next, 1.13 g of N,N-diisopropylethylenediamine were added to this solution, followed by stirring for 30 min at 100°C. Ice water was added to the reaction solution. /25 Extraction was performed with methylene chloride and the organic layer was rinsed with a saturated aqueous sodium chloride solution. After drying with anhydrous sodium sulfate, it was purified by silica gel column chromatography (chloroform : methanol = 7.5 : 1) and 1.19 g of the designated compound were obtained.

Melting point: 134-135°C

M.S. (FAB) m/z : 448 (M⁺)
I.R. (KBr) cm⁻¹ : 3368, 2967, 1667, 1655, 1613
1H-NMR (CDCl₃) δ : 1.07(12H, d), 2.68(2H, t), 3.08(2H, q), 3.38(2H, q), 3.92(3H, s), 3.98(3H, s), 4.06(3H, s), 6.57(1H, s), 6.60(1H, d), 6.84(1H, brs), 7.07(1H, d), 7.76(1H, s), 10.32(1H, s)

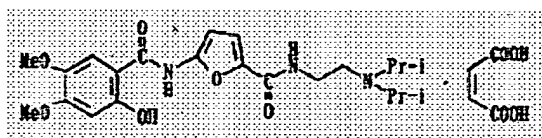
[0095]

Application Example 3

2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan maleate

[0096]

[Structure 13]



[0097]

Process 1

Production of 2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-5-(ethoxycarbonyl)furan

[0098]

To 4.01 g of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-(ethoxycarbonyl)furan obtained in Process 1 of Application Example 2, 3.2 g of potassium cyanide and 30 mL of N,N-dimethylformamide were added under an argon atmosphere, followed by stirring for 14 h at 130°C. The reaction solution was poured into 100 mL of water. 6.5 g of potassium hydrogen sulfate were added, followed by stirring. The deposited crystals were removed by filtration. By rinsing these crystals with water and ethanol successively and drying under reduced pressure, 2.26 g of the designated compound were obtained in the form of brown powder yield 58.9%.

Melting point: 177-180°C

I.R. (KBr) cm^{-1} : 1711, 1693, 1647
 $^1\text{H-NMR}$ ($\text{DMSO}-d_6$) δ : 1.29(3H, t), 3.77(3H, s), 3.81(3H, s), 4.28(2H, q), 6.53~6.58(2H, d), 7.33~7.36(1H, s), 7.59(1H, s), 11.60(1H, s), 11.98(1H, s)

[0099]

Process 2

Production of

2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan
maleate

[0100]

To 2.10 g of 2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-5-(ethoxycarbonyl)furan, 4.76 g of N,N-diisopropylethylenediamine were added, followed by stirring for 1 h at 130°C. The reaction solution was poured into 200 mL of water, followed by extraction with chloroform. After rinsing the organic layer with water and drying with anhydrous sodium sulfate, the solvent was removed under reduced pressure. The residue was purified by silica gel column chromatography (chloroform : methanol = 10 : 1) and 1.47 g of 2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan were obtained as a brown solid. This was solubilized in 30 mL of chloroform. 0.183 g of maleic acid were added, followed by stirring. The solvent was removed under reduced pressure. By rinsing the residue with ether and drying under reduced pressure, 0.723 g of the designated compound were obtained in the form of brown powdered.

Melting point: 17-179°C

MS (FAB) m/z : 434 (MH⁺)
IR (KBr) cm^{-1} : 1671, 1636
¹H-NMR (DMSO- d_6) δ : 0.99~1.09(12H, m), 2.20~2.48(2H, m), 2.63~2.80(2H, m), 2.99~3.24(2H, m), 3.28~3.55(2H, m), 3.71(3H, s), 3.77(3H, s), 6.23(2H, s), 6.53~6.58(2H, m), 7.35(1H, s), 7.59(1H, s), 7.61~7.67(1H, m), 8.17~8.23(1H, m), 13.04~13.09(1H, m)

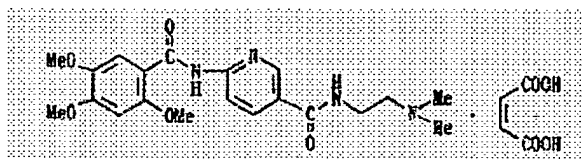
[0101]

Application Example 4

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-dimethylaminoethyl)aminocarbonyl]pyridine maleate

[0102]

[Structure 14]



[0103]

Process 1

Production of 2-[N-2,4,5-trimethoxybenzoyl]amino]-5-(ethoxycarbonyl)pyridine

[0104]

3.72 g of 2-amino-5-(ethoxycarbonyl)pyridine were solubilized in 100 mL of 1,2-dichloroethane. To this, 5.44 g of 2,4,6-trimethoxybenzoyl chloride were added. Heating with reflux was performed for 15 h. The reaction solution was cooled to room temperature. A saturated aqueous sodium bicarbonate solution was added, followed by extraction with chloroform. After rinsing the organic layer successively with water and a saturated aqueous sodium chloride solution and drying with anhydrous sodium sulfate, the solvent was removed under reduced pressure. The residue was recrystallized from a mixed solvent of isopropylether and ethanol and 6.93 g of the designated compound were obtained. Yield 86%.

¹H-NMR (CDCl₃) δ : 1.41(3H, t), 3.94(3H, s), 3.98(3H, s), 4.10(3H, s), 4.39(2H, q), 6.58(1H, s), 7.78(1H, s), 8.32(1H, dd), 8.48(1H, dd), 8.95(1H, dd), 10.61(1H, s)

/26

[0105]

Process 2

Production of

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-dimethylaminoethyl)aminocarbonyl]pyridine maleate

[0106]

514 mg of N,N-dimethylethylenediamine were solubilized in 10 mL of dry toluene. While cooling with ice, 2.9 mL of a 2.0M trimethylaluminum-toluene solution were added dropwise, followed by stirring for 1 h at room temperature. To this solution, 1.0 g of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-(ethoxycarbonyl)pyridine was added, followed by stirring for 2 h at an internal temperature of 80°C. The reaction solution was cooled and poured into a saturated aqueous sodium bicarbonate solution. After chloroform was added, followed by stirring, followed by filtration with cerite. The filtrate was separated and the organic layer was rinsed successively with water and a saturated aqueous sodium chloride solution. After drying with anhydrous sodium sulfate, the solvent was removed under reduced pressure. The residue was purified by silica gel column chromatography (NH silica gel DM-1020 (made by Fuji Silicia Co.), chloroform : n-hexane = 2 : 1) and 770 mg of 2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-dimethylaminoethyl)aminocarbonyl]pyridine were obtained. Yield 69%.

¹H-NMR (CDCl₃) δ : 2.28(6H, s), 2.53(2H, t), 3.53(2H, q), 3.94(3H, s), 3.98(3H, s), 4.10(3H, s), 6.58(1H, s), 6.88(1H, brs), 7.78(1H, s), 8.11(1H, dd), 8.47(1H, d), 8.78(1H, dd), 10.57(1H, s)

770 mg of the

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-dimethylaminoethyl)aminocarbonyl]pyridine obtained were solubilized in 20 mL of ethanol. 222 mg of maleic acid were added, followed by heating and dissolution. This solution was cooled and the deposited crystals were collected by filtration. These crystals

were recrystallized with a mixed solvent of ethanol and water and 890 mg of the designated compound were obtained.

Melting point: 218-220°C

MS (FAB) m/z : 403 (MH⁺)
IR (KBr) cm^{-1} : 3331, 1655, 1608, 1352, 1275
¹H-NMR (DMSO- d_6) δ : 2.85(6H, s), 3.26(2H, t), 3.62(2H, q), 3.78(3H, s), 3.92(3H, s), 4.07(3H, s), 6.02(2H, s), 6.88(1H, s), 7.54(1H, s), 8.27(1H, dd), 8.37(1H, d), 8.77(1H, t), 8.91(1H, d), 9.30(2H, brs), 10.60(1H, s)

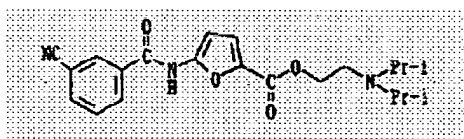
[0107]

Application Example 5

2-[N-(3-cyanobenzoyl)amino]-5-[(2-diisopropylamino) ethoxycarbonyl]furan

[0108]

[Structure 15]



[0109]

Process 1

Production of 2-[N-(3-cyanobenzoyl)amino]-5-(ethoxycarbonyl)furan

[0110]

In Process 1 of Application Example 2, the same procedure was performed using 3-cyanobenzoyl chloride instead of 2,4,5-trimethoxybenzoyl chloride and the designated compound was obtained.

¹H-NMR (CDCl₃) δ : 1.34(3H, t), 4.33(2H, q), 6.71(1H, d), 7.24(1H, d), 7.66(1H, t), 7.85~7.90(1H, m), 8.13~8.18(1H, m), 8.29~8.31(1H, m)

[0111]

Process 2

Production of 2-[N-(3-cyanobenzoyl)amino]-5-(hydroxycarbonyl)furan

[0112]

To 105 mg of 2-[N-(3-cyanobenzoyl)amino]-5-(ethoxycarbonyl)furan, 2 mL of dry methylene chloride were added. While cooling with ice, 1.6 mL of a 1.0M boron tribromide-methylene chloride solution were added dropwise. Stirring was performed for 1 h at room temperature. 10 mL of water were added to the reaction solution while cooling with ice. Deposited crystals were collected by filtration. After these crystals were rinsed successively with water and ether, 81 mg of the designated compound were obtained in the form of a brown solid by drying under reduced pressure. Yield 81%.

¹H-NMR (DMSO-d₆) δ : 6.59~6.60(1H, m), 7.27~7.28(1H, m), 7.72~7.78(1H, m), 8.06~8.10(1H, m), 8.47(1H, s), 12.08(1H, s), 12.40~13.00(1H, br)
IR (KBr) cm⁻¹ : 2361, 1706

[0113]

Process 3

Production of 2-[N-(3-cyanobenzoyl)amino]-5-[[2-(diisopropylamino) ethoxy] carbonyl]furan

[0114]

27 mg of 2-[N-(3-cyanobenzoyl)amino]-5-(hydroxycarbonyl)furan were solubilized in 2 mL of dry N,N-dimethylformamide. 17 mg of 1,1'-carbonyldiimidazole were added followed by stirring for 30 min

at room temperature. Next, 15 mg of 2-diisopropylaminoethanol were added followed by stirring for 17 h at room temperature. 40 mL of water and 50 mL of chloroform were added to the reaction solution and the liquids were allowed to separate. After rinsing the organic layer with water and drying with anhydrous sodium sulfate, the solvent was distilled off under reduced pressure and 43 mg of the designated compound were obtained in the form of a yellow oil.

MS (FAB) m/z : 384 (M⁺)
 IR (neat) cm^{-1} : 2361, 1697, 1668
¹H-NMR (CDCl₃) δ : 0.97~1.05 (12H, m), 2.67~2.76 (2H, m), 2.96~3.06 (2H, m), 4.19 (2H, t), 6.70~6.72 (1H, m), 7.21~7.23 (1H, m), 7.63~7.69 (1H, m), 7.86~7.89 (1H, m), 8.15~8.18 (1H, m), 8.30 (1H, brs), 11.50~12.00 (1H, m)

[0115]

/27

Below, the same procedures as Application Examples 1-5 were performed and the compounds of Application Examples 6-27 were produced.

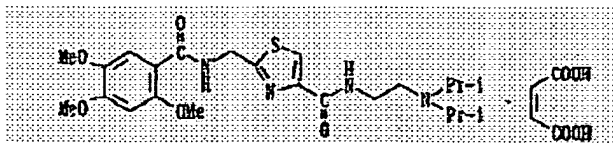
[0116]

Application Example 6

2-[N-(2,4,5-trimethoxybenzoyl)aminomethyl]-4-[(2-diisopropylaminoethyl)aminocarbonyl]-1,3-thiazole maleate

[0117]

[Structure 16]



[0118]

Melting point: 148.6-150.1°C

MS (EI) m/z : 478 (M^+)
IR (KBr) cm^{-1} : 3343, 2996, 1707
 1H -NMR (DMSO- d_6) δ : 1.28(12H, d), 3.05~3.72(6H, m), 3.73(3H, s), 3.88(3H, s), 3.96(3H, s), 4.79(2H, d), 6.02(2H, s), 6.79(1H, s), 7.41(1H, s), 8.18(1H, s), 8.53~8.68(3H, br), 9.00(1H, t)

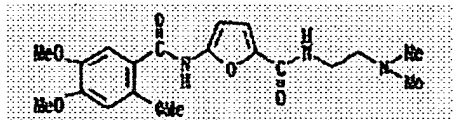
[0119]

Application Example 7

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-dimethylaminoethyl)aminocarbonyl]furan

[0120]

[Structure 17]



[0121]

Melting point: 160-161°C

MS (FAB) m/z : 392 (MH^+)
IR (KBr) cm^{-1} : 3299, 1676, 1638, 1607
 1H -NMR (CDCl $_3$) δ : 2.29(6H, s), 2.51(2H, t), 3.51(2H, q), 3.92(3H, s), 3.98(3H, s), 4.09(3H, s), 6.58(1H, s), 6.61(1H, d), 6.62(1H, s), 7.11(1H, d), 7.56(1H, s), 10.28(1H, s)

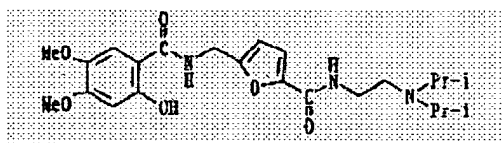
[0122]

Application Example 8

2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)aminomethyl]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan

[0123]

[Structure 18]



[0124]

Melting point: 179.5-180.7°C

M.S. (FAB) m/z : 448 (MH^+)
I.R. (KBr) cm^{-1} : 3302, 2964, 2831, 1653, 1640, 1615, 1600
 1H -NMR ($CDCl_3$) δ : 0.99(12H, d), 2.63(2H, t), 3.00(2H, sept), 3.30(2H, q), 3.80(3H, s), 3.89(3H, s), 4.61(2H, d), 6.20(1H, d), 6.50(1H, s), 6.73(1H, d), 7.09(1H, t), 7.20(1H, s), 7.49(1H, s), 12.50(1H, brs)

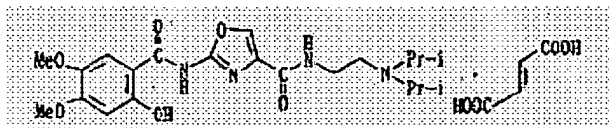
[0125]

Application Example 9

2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-4-[(2-diisopropylaminoethyl)aminocarbonyl]-1,3-oxazole fumarate

[0126]

[Structure 19]



[0127]

Melting point: 80-90°C

MS (FAB) m/z : 433 (MH^+)
IR (KBr) cm^{-1} : 1701, 1671
 1H -NMR ($DMSO-d_6$) δ : 0.97~1.06(12H, m), 2.23~2.50(2H, m), 2.61~2.78(6H, m), 3.09~3.28(4H, m), 3.72(3H, s), 3.79(3H, s), 6.54~6.61(3H, m), 7.38(1H, s), 8.16~8.29(1H, m), 8.97~9.04(1H, m), 10.46~10.68(2H, m)

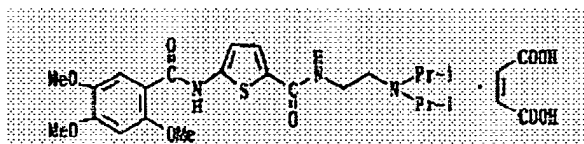
[0128]

Application Example 10

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]thiophenemaleate

[0129]

[Structure 20]



/28

[0130]

Melting point: 107-109°C

MS (FAB) m/z : 464 (MH^+)
I.R. (KBr) cm^{-1} : 3400, 3350, 1645, 1608
 1H -NMR (DMSO- d_6) δ : 1.29(12H, d), 3.18(3H, br s), 3.42~3.60(2H, m), 3.60~3.80(2H, m), 3.77(3H, s), 3.89(3H, s), 3.97(3H, s), 6.02(2H, s), 6.81(1H, s), 7.38(1H, s), 7.80(1H, d), 7.99(1H, d), 8.60(1H, brs), 8.75(1H, t), 10.27(1H, s)

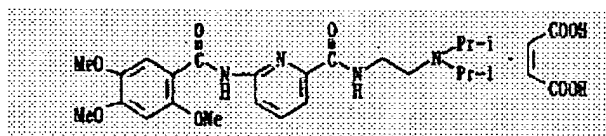
[0131]

Application Example 11

2-[N-(2,4,5-trimethoxybenzoyl)amino]-6-[(2-diisopropylaminoethyl)aminocarbonyl]pyridine maleate

[0132]

[Structure 21]



[0133]

Melting point: 175 - 176.5°C

MS (FAB) m/z : 459 (MH^+)
I.R. (KBr) cm^{-1} : 3377, 1672, 1574, 1350, 1278
 1H -NMR (DMSO- d_6) δ : 1.32(12H, d), 3.30(2H, m), 3.45(2H, m), 3.66(2H, m), 3.79(3H, s), 3.93(3H, s), 4.15(3H, s), 6.02(2H, s), 6.90(1H, s), 7.59(1H, s), 7.80(1H, dd), 8.06(1H, t), 8.46(1H, dd), 8.55(2H, brs), 8.93(1H, brs), 10.51(1H, s)

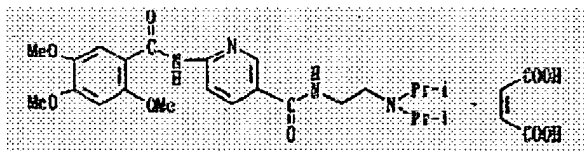
[0134]

Application Example 12

2-[N-(2,4,5-trimethoxybenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]pyridine maleate

[0135]

[Structure 22]



[0136]

Melting point: 185-187°C

MS (FAB) m/z : 459 (M⁺)
I.R. (KBr) cm^{-1} : 3331, 1655, 1608, 1508, 1311
¹H-NMR (DMSO- d_6) δ : 1.30 (12H, d), 3.20 (2H, m),
3.56 (2H, m), 3.69 (2H, m), 3.78 (3H, s), 3.92 (3H, s), 4.08 (3H, s),
6.03 (2H, s), 6.88 (1H, s), 7.54 (1H, s), 8.25 (1H, dd),
8.37 (1H, d), 8.60 (2H, brs), 8.79 (1H, d), 8.85 (1H, brs), 10.60 (1H, s)

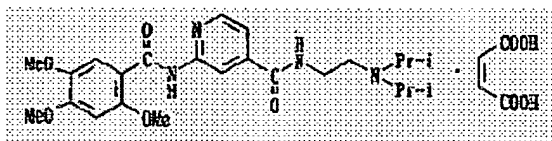
[0137]

Application Example 13

2-[N-(2,4,5-trimethoxybenzoyl)amino]-4-[(2-diisopropylaminoethyl)aminocarbonyl]pyridine maleate

[0138]

[Structure 23]



[0139]

Melting point: 186-187°C

MS (FAB) m/z : 459 (M^+)
IR (KBr) cm^{-1} : 3319, 1667, 1610, 1363, 1211
 1H -NMR (DMSO- d_6) δ : 1.31 (12H, d), 3.21 (2H, m),
3.58 (2H, m), 3.69 (2H, m), 3.78 (3H, s), 3.92 (3H, s), 4.07 (3H, s),
5.03 (2H, s), 6.88 (1H, s), 7.48 (1H, dd), 7.56 (1H, s),
8.50 (1H, d), 8.60 (2H, brs), 8.68 (1H, s), 8.98 (1H, t), 10.56 (1H, s)

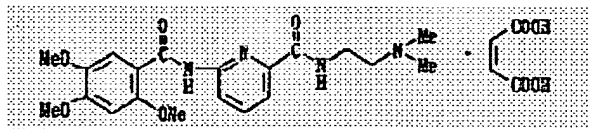
[0140]

Application Example 14

2-[N-(2,4,5-trimethoxybenzoyl)amino]-6-[(2-dimethylaminoethyl)aminocarbonyl]pyridine maleate

[0141]

[Structure 24]



[0142]

Melting point: 198-200°C

/29

MS (FAB) m/z : 403 (MH^+)
IR (KBr) cm^{-1} : 3308, 1668, 1354, 1271, 1209
 1H -NMR (DMSO- d_6) δ : 2.86(6H, s), 3.29(2H, t), 3.68(2H, q), 3.79(3H, s), 3.93(3H, s), 4.15(3H, s), 6.02(2H, s), 6.90(1H, s), 7.59(1H, s), 7.80(1H, dd), 8.05(1H, t), 8.46(1H, dd), 8.90(1H, t), 9.30(2H, brs), 10.53(1H, s)

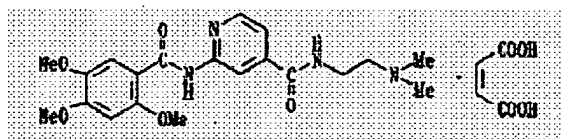
[0143]

Application Example 15

2-[N-(2,4,5-trimethoxybenzoyl)amino]-4-[(2-dimethylaminoethyl)aminocarbonyl]pyridine maleate

[0144]

[Structure 25]



[0145]

Melting point: 148-149°C

MS (FAB) m/z : 403 (MH^+)
IR (KBr) cm^{-1} : 3321, 1670, 1419, 1358, 1282
 1H -NMR (DMSO- d_6) δ : 2.85(6H, s), 3.28(2H, t), 3.63(2H, q), 3.78(3H, s), 3.92(3H, s), 4.08(3H, s), 6.02(2H, s), 6.88(1H, s), 7.50(1H, dd), 7.57(1H, s), 8.50(1H, d), 8.69(1H, d), 8.93(1H, t), 9.30(2H, brs), 10.55(1H, s)

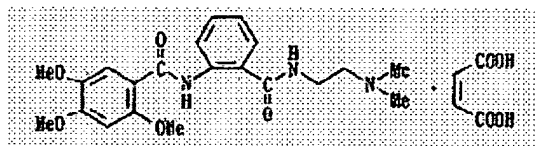
[0146]

Application Example 16

N-(2,4,5-trimethoxybenzoyl)-2-[(2-dimethylaminoethyl)aminocarbonyl]aniline maleate

[0147]

[Structure 26]



[0148]

Melting point: 169-170°C

MS (FAB) m/z : 402 (MH⁺)
IR (KBr) cm^{-1} : 3530, 3287, 2945, 2702, 1662, 1648, 1608
¹H-NMR (DMSO-d₆) δ : 2.84(6H, s), 3.20~3.50(4H, m), 3.60~3.95(2H, m), 3.76(3H, s), 3.90(3H, s), 4.06(3H, s), 6.02(2H, s), 6.81(1H, s), 7.18(1H, dt), 7.47~7.55(1H, m), 7.56(1H, s), 7.70(1H, dd), 8.65(1H, dd), 8.77(1H, t), 11.83(1H, s)

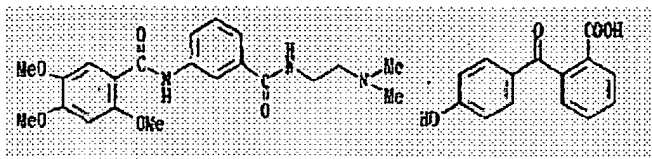
[0149]

Application Example 17

N-(2,4,5-trimethoxybenzoyl)-3-[(2-dimethylaminoethyl)aminocarbonyl]aniline hibenzate

[0150]

[Structure 27]



[0151]

Melting point: 124-128°C (foaming)

MS (FAB) m/z : 403 (MH^+)
IR (KBr) cm^{-1} : 3321, 1670, 1419, 1358, 1282
 1H -NMR ($DMSO-d_6$) δ : 2.85 (6H, s), 3.28 (2H, t), 3.63 (2H, q), 3.78 (3H, s), 3.92 (3H, s), 4.08 (3H, s), 6.02 (2H, s), 6.88 (1H, s), 7.50 (1H, dd), 7.57 (1H, s), 8.50 (1H, d), 8.69 (1H, s), 8.93 (1H, t), 9.30 (2H, brs), 10.55 (1H, s)

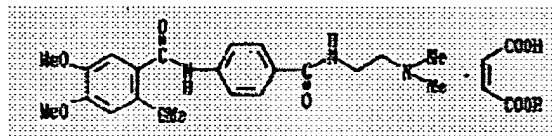
[0152]

Application Example 18

N-(2,4,5-trimethoxybenzoyl)-4-[(2-dimethylaminoethyl)aminocarbonyl]aniline maleate

[0153]

[Structure 28]



[0154]

Melting point: 185-186°C

MS (FAB) m/z : 402 (MH⁺)
IR (KBr) cm^{-1} : 3345, 3250, 1664, 1650, 1620, 1600
¹H-NMR (DMSO- d_6) δ : 2.85(6H, s), 3.20~3.50(4H, m), 3.55~3.64(2H, m), 3.76(3H, s), 3.89(3H, s), 4.00(3H, s), 6.02(2H, s), 6.83(1H, s), 7.40(1H, s), 7.86(4H, s), 8.60(1H, t), 10.19(1H, s)

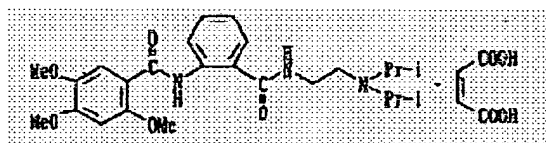
[0155]

Application Example 19

N-(2,4,5-trimethoxybenzoyl)-2-[(2-diisopropylaminoethyl)aminocarbonyl]aniline maleate

[0156]

[Structure 29]



[0157]

Melting point: 166.5-167.2°C

MS (FAB) m/z : 458 (MH⁺)
IR (KBr) cm^{-1} : 3400, 3250, 2900, 2650, 1655
¹H-NMR (DMSO- d_6) δ : 1.28~1.31(12H, m), 3.20~3.75(7H, m), 3.76(3H, s), 3.90(3H, s), 4.06(3H, s), 6.03(2H, s), 6.81(1H, s), 7.15~7.21(1H, m), 7.49~7.56(2H, m), 7.63~7.66(1H, m), 8.57~8.60(2H, m), 8.81(1H, t), 11.83

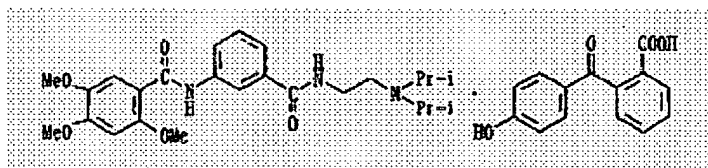
[0158]

Application Example 20

N-(2,4,5-trimethoxybenzoyl)-3-[(2-diisopropylaminoethyl)aminocarbonyl]aniline hibenzate

[0159]

[Structure 30]



[0160]

Melting point: 118-126°C (foaming)

MS (FAB) m/z : 458 (M^+)
IR (KBr) cm^{-1} : 1658, 1609
 1H -NMR ($CDCl_3$) δ : 1.09~1.13(12H, m), 3.01~3.09(2H, s), 3.27~3.34(2H, s), 3.62~3.71(2H, s), 3.92(3H, s), 3.97(3H, s), 4.02(3H, s), 6.49~6.56(3H, m), 7.22~7.27(2H, s), 7.31~7.37(1H, m), 7.43~7.50(4H, m), 7.62~7.65(1H, m), 7.76~7.78(2H, s), 7.91~7.98(1H, m), 8.08~8.10(1H, s), 9.60~9.67(1H, s), 9.95(1H, brs), 12.09~12.18(1H, s)

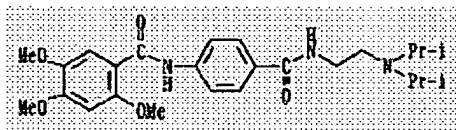
[0161]

Application Example 21

N-(2,4,5-trimethoxybenzoyl)-4-[(2-diisopropylaminoethyl)aminocarbonyl]aniline

[0162]

[Structure 31]



[0163]

Melting point: 179-181°C

MS (FAB) m/z : 458 (MH⁺)
IR (KBr) cm^{-1} : 3350, 2961, 1668, 1633, 1610
¹H-NMR (CDCl₃) δ : 1.50 (12H, d), 2.69~2.73 (2H, s), 3.02~3.12 (2H, s), 3.39~3.45 (2H, s), 3.93 (3H, s), 3.96 (3H, s), 4.06 (3H, s), 6.57 (1H, s), 6.98 (1H, brs), 7.72~7.81 (5H, m), 10.00 (1H, s)

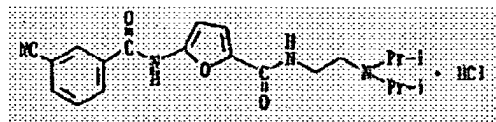
[0164]

Application Example 22

2-[N-(3-cyanobenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan hydrochloride.

[0165]

[Structure 32]



[0166]

Melting point: 156.0-159.5°C

MS (FAB) m/z : 383 (MHP)
IR (KBr) cm^{-1} : 3476, 3337, 2230, 1661, 1658, 1541, 1497
 $^1\text{H-NMR}$ (DMSO- d_6 , 60°C) δ : 1.30(6H, d), 1.33(6H, d), 3.10~3.25(2H, m), 3.50~3.70(4H, m), 6.56(1H, d), 7.29(1H, d), 7.72~7.78(1H, m), 8.06~8.09(1H, m), 8.27~8.30(1H, m), 8.42~8.46(1H, m), 8.60~8.68(1H, m), 9.45(1H, brs), 11.91(1H, s)

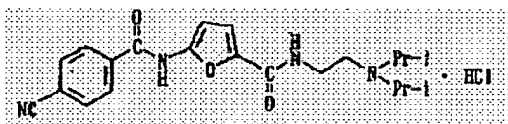
[0167]

Application Example 23

2-[N-(4-cyanobenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan hydrochloride

[0168]

[Structure 33]



[0169]

Melting point: 113.5-117.0°C

MS (FAB) m/z : 383 (MHP)
IR (KBr) cm^{-1} : 3428, 3310, 2232, 1661, 1617, 1541, 1518
 $^1\text{H-NMR}$ (CDCl_3) δ : 1.42(6H, d), 1.50(6H, d), 3.19~3.21(2H, m), 3.55~3.70(2H, m), 3.90~3.90(2H, m), 6.71(1H, d), 7.23(1H, d), 7.74~7.80(2H, m), 8.10~8.15(2H, m), 8.56~8.64(1H, m), 10.38(1H, brs), 11.16(1H, s)

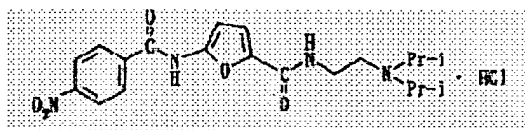
[0170]

Application Example 24

2-[N-(4-nitrobenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan hydrochloride

[0171]

[Structure 34]



[0172]

/31

Melting point: 130-131°C

MS (FAB) m/z : 403 (M⁺)
IR (KBr) cm⁻¹ : 3422, 1663, 1615, 1541, 1522
1H-NMR (CDCl₃) δ : 1.42(6H, d), 1.50(6H, d), 3.15
~3.25(2H, m), 3.55~3.70(2H, m), 3.80~3.90(2H, m), 6.7
3(1H, d), 7.23(1H, d), 8.17~8.33(4H, m), 8.55~8.65(1H,
m), 10.35(1H, brs), 11.38(1H, s)

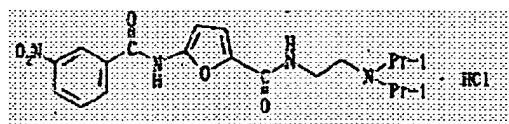
[0173]

Application Example 25

2-[N-(3-nitrobenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan hydrochloride

[0174]

[Structure 35]



[0175]

Melting point: 136-138.5°C

MS (FAB) m/z : 403 (MH⁺)
IR (KBr) cm^{-1} : 3300, 1682, 1630, 1530
¹H-NMR (DMSO- d_6) δ : 1.31(6H, d), 1.34(6H, d), 3.10~3.20(2H, a), 3.55~3.70(4H, m), 6.58(1H, d), 7.33(1H, d), 7.80~7.87(1H, m), 8.42~8.48(2H, m), 8.70~8.80(1H, m), 8.87~8.88(1H, m), 9.74(1H, brs), 12.12(1H, s)

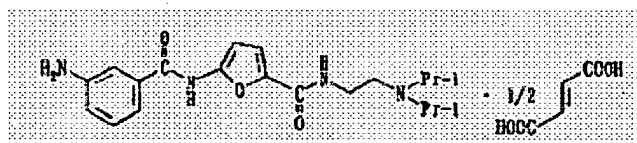
[0176]

Application Example 26

2-[N-(3-aminobenzoyl)amino]-5-[(2-diisopropylaminoethyl)aminocarbonyl]furan ½ fumarate

[0177]

[Structure 36]



[0178]

Melting point: 116-120°C (foaming)

MS (FAB) m/z : 373 (MH⁺)
IR (KBr) cm^{-1} : 3231, 1665, 1537
¹H-NMR (DMSO- d_6) δ : 1.01~1.08(12H, a), 2.58~2.64(2H, a), 3.00~4.00(7H, a), 6.45(1H, d), 6.57(1H, s), 6.75~6.77(1H, a), 7.12~7.14(4H, a), 8.14(1H, brs), 11.29(1H, s)

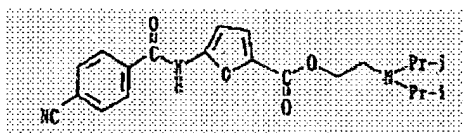
[0179]

Application Example 27

2-[N-(4-cyanobenzoyl)amino]-5-[[[(2-diisopropylamino)ethoxy]carbonyl]furan

[0180]

[Structure 37]



[0181]

IR (neat) cm^{-1} : 2361, 1719, 1686
 $^1\text{H-NMR}$ (CDCl_3) δ : 0.99~1.04 (12H, m), 2.71~2.76 (2H, m), 2.99~3.05 (2H, m), 4.18 (2H, t), 6.70~6.72 (1H, m), 7.20~7.24 (1H, m), 7.80~7.83 (2H, m), 8.07~8.16 (2H, m), 10.70~11.30 (1H, m)

[0182]

Preparation Example 1

Compound of Application Example 1	20 g
Lactose	315 g
Corn starch	125 g
Crystalline cellulose	25 g

The above components were mixed to homogeneity. 200 mL of a 7.5% aqueous hydroxypropylcellulose solution were added followed by granulation by an extrusion granulator using a

0.5-mm diameter screen. After immediately rounding with a rounding machine, drying was performed to form a granular agent.

[0183]

Preparation Example 2

Compound of Application Example 2	20 g
Lactose	100 g
Corn starch	36 g
Crystalline cellulose	30 g
Carboxymethylcellulose calcium	10 g
Magnesium stearate	4 g

The components of the above composition were mixed to homogeneity and made into a tablet agent of 7.5 mm diameter pestle-shapes having 200 mg per tablet using a single shot tableting machine.

[0184]

Preparation Example 3

Compound of Application Example 6	100 mg
Sodium acetate	2 mg
Acetic acid (for adjusting to pH 5.8)	appropriate amount
Distilled water	appropriate amount
	Total 10 mL/vial

An injection agent with the above formulation was performed using the usual methods.

[0185]

Effects of the invention

By markedly promoting peristalsis, the compounds of the present invention improve peristalsis problems. From the fact that they also are very safe, they are useful for preventing/treating various peristalsis problems.

/32